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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
NEWS 5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS 6	DEC 01	ChemPort single article sales feature unavailable
NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 24	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants

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 NEWS 25  MAR 11  ESBIOBASE reloaded and enhanced
 NEWS 26  MAR 20  CAS databases on STN enhanced with new super role
                  for nanomaterial substances
 NEWS 27  MAR 23  CA/CAplus enhanced with more than 250,000 patent
                  equivalents from China

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:54:54 ON 24 MAR 2009

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

FILE 'REGISTRY' ENTERED AT 16:55:32 ON 24 MAR 2009
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STRUCTURE FILE UPDATES:   23 MAR 2009  HIGHEST RN 1125796-38-4
DICTIONARY FILE UPDATES:  23 MAR 2009  HIGHEST RN 1125796-38-4

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http://www.cas.org/support/stngen/stndoc/properties.html
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=>
Uploading C:\Documents and Settings\EBernhardt\My
Documents\Stnexp\Queries\11983319.str

L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 16:57:15 FILE 'REGISTRY'
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INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:       857240 TO   882160
PROJECTED ANSWERS:            1924 TO     3294

L2            6 SEA SSS SAM L1

=> d l2 1-6

L2   ANSWER 1 OF 6  REGISTRY  COPYRIGHT 2009 ACS on STN
RN   1070097-14-1  REGISTRY
ED   Entered STN:  03 Nov 2008
CN   3-Pyridinesulfonamide, N-[3-[4-amino-1,2-dihydro-2-oxo-7-(1-
     piperidinylcarbonyl)-3-quinolinyl]-1,2,4-thiadiazol-5-yl]-N-methyl-  (CA
     INDEX NAME)
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SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER
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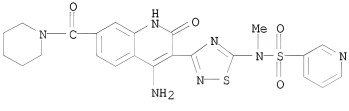

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                1 REFERENCES IN FILE CA (1907 TO DATE)
                1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2   ANSWER 2 OF 6  REGISTRY  COPYRIGHT 2009 ACS on STN
RN   1070053-53-0  REGISTRY
ED   Entered STN:  03 Nov 2008
CN   Benzenesulfonamide, N-[4-[1,2-dihydro-7-[(2-methyl-1-piperidinyl)methyl]-2-
     oxo-3-quinolinyl]-2-thienyl]-N-methyl-  (CA INDEX NAME)
MF   C27 H29 N3 O3 S2
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER
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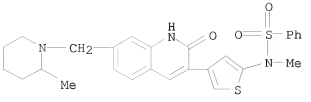

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2009 ACS on STN
RN 1070029-41-2 REGISTRY
ED Entered STN: 03 Nov 2008
CN 2(1H)-Quinolinone, 4-amino-7-(4-morpholinylcarbonyl)-3-[4-[(3-pyridinylsulfonyl)methyl]-2-thiazolyl]- (CA INDEX NAME)
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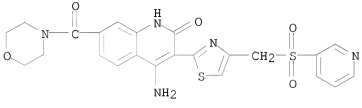
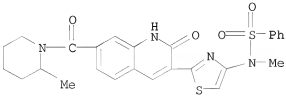
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



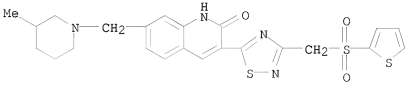
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2009 ACS on STN
RN 1070023-50-5 REGISTRY
ED Entered STN: 03 Nov 2008
CN Benzenesulfonamide, N-[2-[1,2-dihydro-7-[(2-methyl-1-piperidinyl)carbonyl]-2-oxo-3-quinolinyl]-4-thiazolyl]-N-methyl- (CA INDEX NAME)
MF C26 H26 N4 O4 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



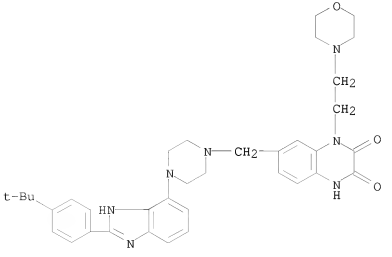
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2009 ACS on STN
RN 1070018-93-7 REGISTRY
ED Entered STN: 03 Nov 2008
CN 2(1H)-Quinolinone, 7-[(3-methyl-1-piperidinyl)methyl]-3-[3-[(2-thienylsulfonyl)methyl]-1,2,4-thiadiazol-5-yl]- (CA INDEX NAME)
MF C23 H24 N4 O3 S3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2009 ACS on STN
RN 874277-65-3 REGISTRY
ED Entered STN: 15 Feb 2006
CN 2,3-Quinoxalinedione, 7-[[4-[2-[4-(1,1-dimethylethyl)phenyl]-1H-benzimidazol-7-yl]-1-piperazinyl]methyl]-1,4-dihydro-1-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2,3-Quinoxalinedione, 7-[[4-[2-[4-(1,1-dimethylethyl)phenyl]-1H-benzimidazol-4-yl]-1-piperazinyl]methyl]-1,4-dihydro-1-[2-(4-morpholinyl)ethyl]- (9CI)
MF C36 H43 N7 O3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

              1 REFERENCES IN FILE CA (1907 TO DATE)
              1 REFERENCES IN FILE CAPLUS (1907 TO DATE)


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Uploading C:\Documents and Settings\EBernhardt\My
Documents\Stnexp\Queries\11983319.str

L3      STRUCTURE UPLOADED

=> s l3
SAMPLE SEARCH INITIATED 17:01:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    43485 TO ITERATE

  4.6% PROCESSED     2000 ITERATIONS                                 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       857240 TO   882160
PROJECTED ANSWERS:             155 TO      713

L4            1 SEA SSS SAM L3

=> s l3 sss full
FULL SEARCH INITIATED 17:01:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   866857 TO ITERATE

 96.6% PROCESSED   837153 ITERATIONS                             848 ANSWERS

100.0% PROCESSED   866857 ITERATIONS                             848 ANSWERS
SEARCH TIME: 00.00.21

L5          848 SEA SSS FUL L3

=> save l5
ENTER NAME OR (END):ele983319/A
ANSWER SET L5 HAS BEEN SAVED AS 'ELE983319/A'

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                  ENTRY    SESSION
FULL ESTIMATED COST                               203.46     203.68

FILE 'CAPLUS' ENTERED AT 17:02:45 ON 24 MAR 2009
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FILE COVERS 1907 - 24 Mar 2009 VOL 150 ISS 13
FILE LAST UPDATED: 23 Mar 2009 (20090323/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l5
L6 61 L5

=> d l6 1-61 bib abs fhitstr

L6 ANSWER 1 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:1101739 CAPLUS
DN 149:355743
TI Quinolinone derivatives as PARP and TANK inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
IN Vialard, Jorge Eduardo; Angibaud, Patrick Rene; Mevellec, Laurence Anne; Meyer, Christophe; Freyne, Eddy Jean Edgard; Pilatte, Isabelle Noeelle Constance; Roux, Bruno; Pasquier, Elisabeth Therese Jeanne; Bourdrez, Xavier Marc; Adelinet, Christophe Denis; Marconnet-Decrane, Laurence Francoise Bernadette; Macritchie, Jacqueline Anne; Duffy, James Edward Stewart; Owens, Andrew Pate; Storck, Pierre-Henri; Poncelet, Virginie Sophie
PA Janssen Pharmaceutica NV, Belg.
SO PCT Int. Appl., 223pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

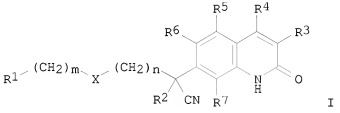
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008107478	A1	20080912	WO 2008-EP52764	20080307
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				

TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI EP 2007-103788 A 20070308
US 2007-893680P P 20070308

OS MARPAT 149:355743

GI



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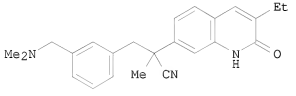


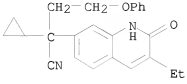
II

AB The invention provides compds. of formula I, their use as PARP inhibitors as well as pharmaceutical compns. comprising said compds. Compds. of formula I wherein m is 0, 1 and 2 when N is 0; n is 0, 1, 2, 3 and 4 when m is 0; X is a bond, (un)substituted methylene; CONH and derivs., NH and derivs., O, and C.tplbond.C; R1 is (un)substituted (hetero)aryl; R2 is H, Me, Et, Pr, C3-6 cycloalkyl(methyl), F, Ph, cyanophenyl, and CF3; R3 is Me, Et, Pr, HOCH2, halo, CF3, MeO and C1-6 alkylcarbonyl; R4 is H, halo, Me, (hydroxy)aminocarbonyl, etc.; R5, R5 and R7 are independently H, halo, C1-6 alkoxy, CN, C1-6 alkyl,OCH2CH2NH2 and derivs., etc.; and their N-oxides, pharmaceutically acceptable addition salts, stereochem. isomeric forms thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their PARP and TANK inhibitory activity (data given).

IT 1056890-39-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline derivs. as PARP and TANK inhibitors useful in the treatment of diseases)

RN 1056890-39-1 CAPLUS

CN 7-Quinolineacetonitrile, α-cyclopropyl-3-ethyl-1,2-dihydro-2-oxo-α-(2-phenoxyethyl)- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:739145 CAPLUS
DN 149:79491
TI Preparation of pyrrolidinyl and piperidinyl ketone derivatives for the treatment of diseases associated with monoamine reuptake inhibitors
IN Iyer, Pravin; Lin, Clara Jeou Jen; Lynch, Stephen M.; Lucas, Matthew C.; Madera, Ann Marie; Ozboya, Kerem Erol; Weikert, Robert James; Schoenfeld, Ryan Craig
PA Roche Palo Alto LLC, USA
SO U.S. Pat. Appl. Publ., 127pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080146607	A1	20080619	US 2007-2696	20071218
	WO 2008074703	A1	20080626	WO 2007-EP63736	20071211
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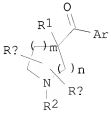
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRAI	US 2006-875969P	P	20061219		
	US 2007-999561P	P	20071019		

OS MARPAT 149:79491
GI



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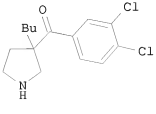


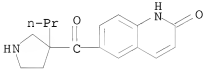
II

AB Title compds. I [m = 0-3; n = 0-2; Ar = (un)substituted indolyl, indazolyl, azaindolyl, azaindazolyl, benzothiophenyl, benzimidazolyl, etc.; R1 = alkyl, alkenyl, alkynyl, alkyl, halo-alkyl, halo-alkenyl, cycloalkyl, etc.; R2 = H or alkyl; Ra and Rb each independently = H, alkyl, alkoxy, halo, OH or oxo; or Ra and Rb together form a alkylene; provided that when m = 1, n = 2 and Ar = (un)substituted Ph, then R1 is not Me or ethyl], and their pharmaceutically acceptable salts, are prepared Thus, e.g., II was prepared by Grignard reaction of 2-butyl-2-formylpyrrolidine-1-carboxylic acid tert-Bu ester (preparation given) with 3,4-dichlorophenylmagnesium bromide, followed by oxidization and deprotection. I were found to have affinity for human serotonin transporter (hSERT) in scintillation proximity assay (SPA), e.g., naphthalen-2-yl(3-propylpyrrolidin-3-yl)methanone exhibited a pKi of approx. 9.82 in this assay. I should prove useful for the treatment of diseases associated with monoamine reuptake inhibitors such as depression and anxiety.

IT 1033814-69-5P, 6-[(3-Propylpyrrolidin-3-yl)carbonyl]-1H-quinolin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinyl and piperidinyl ketone derivs. for treatment of diseases associated with monoamine reuptake inhibitors)

RN 1033814-69-5 CAPLUS

CN 2(1H)-Quinolinone, 6-[(3-propyl-3-pyrrolidinyl)carbonyl]- (CA INDEX NAME)



L6 ANSWER 3 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:702976 CAPLUS

DN 149:53888

TI Antibacterial quinoline derivatives and their preparation, and use in the treatment of bacterial infection

IN Guillemont, Jerome Emile Georges; Lancois, David Francis Alain; Dorange, Ismet; Andries, Koenraad Jozef Lodewijk Marcel; Koul, Anil

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 96pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008068267	A1	20080612	WO 2007-EP63313	20071204
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,				

MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM
PRAI EP 2006-125499 A 20061206
OS MARPAT 149:53888
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

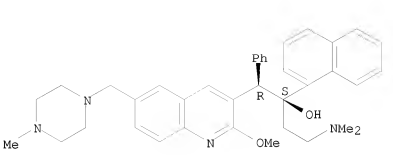
AB The invention relates to substituted quinoline derivs. according to the general formula I and II: including any stereochem. isomeric form thereof, a pharmaceutically acceptable salt thereof, a N-oxide form thereof or a solvate thereof. The claimed compds. are useful for the treatment of a bacterial infection. Also claimed is a composition comprising a pharmaceutically acceptable carrier and, as active ingredient, a therapeutically effective amount of the claimed compds., the use of the claimed compds. or compns. for the manufacture of a medicament for the treatment of a bacterial infection and a process for preparing the claimed compds. Compds. of formula I and II wherein m is 1, 2, 3 and 4; n is 0, 1, 2, 3 and 4; R1 is alkenyl, alkynyl, C-NOH and derivs., amino, (di)alkylamino, aminoalkyl, etc.; R2 is H, alkyloxy, aryl, aryloxy, OH, mercapto, alkyloxyalkyloxy, etc.; R3 is alkyl, arylalkyl, aryloxyalkyl, arylalkyloxylalkyl, aryl, etc.; R4 and R5 are independently H, alkyl and Bn; NR4R5 taken together to form pyrrolidinyl, pyrrolyl, imidazolinyl, etc.; R6 is (un)substituted Ph, (un)substituted naphthyl, (un)substituted acenaphthyl and (un)substituted tetrahydronaphthyl, etc.; R7 is H, halo, alkyl, aryl, and heterocycle; R8 is H and alkyl; R9 is oxo; R8R9 is CH=CH-N=; and their stereochem. isomeric forms, N-oxides, pharmaceutically acceptable salts and solvates thereof, are claimed. Example compound III was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their antibacterial activity (data given).

IT 1032265-35-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinoline derivs. as antibacterial agents useful in the treatment of bacterial infection)

RN 1032265-35-2 CAPLUS

CN 3-Quinolineethanol, α-[2-(dimethylamino)ethyl]-2-methoxy-6-[(4-methyl-1-piperazinyl)methyl]-α-1-naphthalenyl-β-phenyl-, (αR,βS)-rel- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:123373 CAPLUS
DN 148:215033
TI Preparation of bicyclic heteroaryl amides as inhibitors of undecaprenyl pyrophosphate synthase
IN Hurley, Timothy Brian; Lee, Kwangho; Peukert, Stefan; Wattanasin, Sompong
PA Novartis AG, Switz.; Novartis Pharma GmbH
SO PCT Int. Appl., 253pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008014307	A2	20080131	WO 2007-US74298	20070725
	WO 2008014307	A3	20080703		
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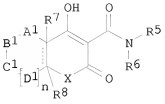
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
	AU 2007276804	A1	20080131	AU 2007-276804	20070725
PRAI	US 2006-820367P	P	20060726		
	WO 2007-US74298	W	20070725		

OS MARPAT 148:215033
GI



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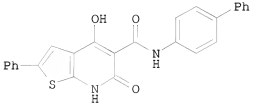


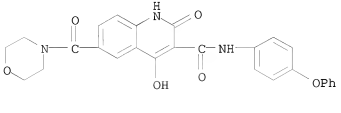
II

AB The title compds. I [n = 0-3; X = NR0, CR0R0 and O; R0 = H, alkyl, cycloalkyl, etc.; A1, B1, C1 and D1 = CH2, CR1, CR2R3, S, N and NR4; R1-R4 = H, alkyl, cycloalkyl, etc.; R5 = H, alkyl, cycloalkyl, etc.; R6 = H, alkyl, cycloalkyl, heterocyclyl; R7, R8 = H, halo, OH, etc.] that are selective and/or potent inhibitors of UPPS, were prepared and claimed. For example, a multi-step synthesis of II, starting from Et 2-amino-5-phenylthiophene-3-carboxylate and Me malonyl chloride, was given. The ability of several compds. I to bind to UPPS was tested (data given). In addition to compds. I which inhibit UPPS, the invention also provides pharmaceutical compns. comprising these compds. and methods of using these compds. for treating bacterial disease, such as bacterial infection.

IT 1005332-14-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bicyclic heteroaryl amides as selective and potent inhibitors of UPPS useful in treatment of bacterial infection)

RN 1005332-14-8 CAPLUS

CN 3-Quinolinecarboxamide, 1,2-dihydro-4-hydroxy-6-(4-morpholinylcarbonyl)-2-oxo-N-(4-phenoxyphenyl)- (CA INDEX NAME)

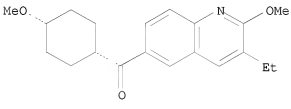


L6 ANSWER 5 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:1452342 CAPLUS

DN 148:158850
TI Comparative Molecular Field Analysis of quinoline derivatives as selective and noncompetitive mGluR1 antagonists
AU Sekhar, Y. Nataraja; Nayana, M. Ravi Shashi; Ravikumar, Muttineni; Mahmood, S. k.
CS Bioinformatics Division, Department of Environmental Microbiology, Osmania University, Hyderabad, India
SO Chemical Biology & Drug Design (2007), 70(6), 511-519
CODEN: CBDDAL; ISSN: 1747-0277
PB Blackwell Publishing Ltd.
DT Journal
LA English
AB A 3D-QSAR Comparative Mol. Field Anal. (Co-MFA) of 45 quinoline derivs. as metabotropic glutamate receptor subtype 1 (mGluR1) inhibitors was investigated. The Co-MFA anal. provided a model with q2 value of 0.827 and r2 value of 0.990, in which q2 value of 0.827 and an r2 value of 0.990, in which the good correlation between the inhibitory activities and the steric and electrostatic mol. field around the analogs was observed The predictive ability of the models was validated using the set of 12 compds. that were not included in the training set of 33 compds. These results provided further understanding of the relationship between the structural features of quinolone derivs. and its activities, which should be applicable to design and find new potential mGluR1 inhibitors.
IT 409340-66-5
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparative mol. field anal. of quinoline derivs. as selective and noncompetitive mGluR1 antagonists)
RN 409340-66-5 CAPLUS
CN Methanone, (3-ethyl-2-methoxy-6-quinolinyl)(cis-4-methoxycyclohexyl)- (CA INDEX NAME)

Relative stereochemistry.



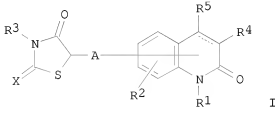
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:1270534 CAPLUS
DN 147:522220
TI Carbostyril compounds and their preparation, pharmaceutical compositions, and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases
IN Kuroda, Takeshi; Yamauchi, Takahito; Shinohara, Tomokazu; Oshima, Kunio; Kitajima, Chiharu; Nagao, Hitoshi; Fukushima, Tae; Tomoyasu, Takahiro; Ishiyama, Hironobu; Ota, Kazuhide; Takano, Masaaki; Sumida, Takumi; Miyamoto, Motoyuki
PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 338 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2007291079	A	20071108	JP 2007-81610	20070327
PRAI JP 2006-84990	A	20060327		

OS MARPAT 147:522220
GI



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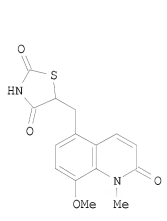
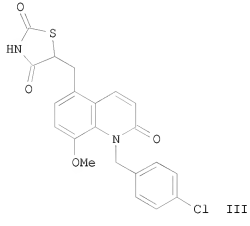


II



III

AB The invention provides carbostyril compds. represented by formula (I) or salts thereof, and their pharmaceutical compns., prepns. and use for transcription promotion activity of TFF2. The carbostyril compds. or salts thereof, of the invention, induces the production of TFF, and thus are usable for the treatment and/or prevention of disorders such as alimentary tract diseases, oral diseases, upper respiratory tract diseases, respiratory tract diseases, eye diseases, cancers, and wounds. Compds. of formula I [wherein A is a bond, a lower alkylene group, or a lower alkylidene group; X is O or S; the dotted line is a single or a double bond; R4 and R5 are independently H, with the provision that dotted line is a double bond; or R4-R5 may be linked together to form a CH=CH-CH=CH group; R1 is H, lower alkyl, (un)substituted Ph lower alkyl, cycloalkyl lower alkyl, phenoxy lower alkyl, naphthyl lower alkyl, lower alkoxy lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl,

(un)substituted pyridyl lower alkyl, cyano lower alkyl, etc.; R2 is H, lower alkoxy, lower alkyl, carboxy lower alkyl, lower alkoxycarbonyl lower alkoxy, HO, (un)substituted Ph lower alkoxy, (un)substituted piperidinyl(oxy) lower alkyl, lower alkenyloxy, (un)substituted pyridyl lower alkoxy, lower alkynyloxy, Ph lower alkenyloxy, Ph lower alkynyloxy, (un)substituted furyl lower alkoxy, (un)substituted oxadiazolyl lower alkyl, or (un)substituted thiazolyl lower alkoxy, etc.; R3 is H, lower (HO-substituted) alkyl, cycloalkyl lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl, (un)substituted Ph lower alkyl, naphthyl lower alkyl, (un)substituted furyl lower alkyl, (un)substituted thiazolyl lower alkyl, (un)substituted tetrazolyl, or (un)substituted benzothienyl, etc.; and their pharmaceutically acceptable salts] are claimed. Example compound (II) was prepared by heterocyclization of 2-chloro-3-(8-methoxy-1-methyl-2-oxo-1,2-dihydroquinolin-5-yl)propionic acid with thiourea. All the invention compds. were evaluated for the transcription promoting activity of hTFF2. From the assay, it was determined that some invention compds., including compound (III), showed TFF2 production activity of 1000% or higher at a test compound concentration of 10-6M concentration Some invention compds. showed a TFF2 production promoting activity of 300% or higher at a test compound concentration is less than 10-5M and preferably more than 10-6M. Example compound III and a few other compds. showed >20% healing ratio of the ulcerated area, which indicated that these compds. may be effective in preventing and/or treating mucosal injury.

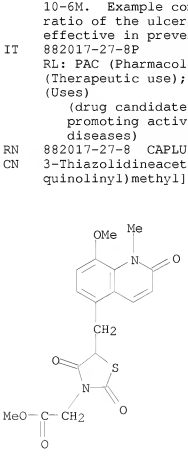
IT 882017-27-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of carbostyril compds. and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases)

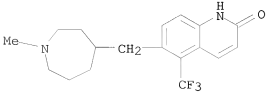
RN 882017-27-8 CAPLUS

CN 3-Thiazolidineacetic acid, 5-[(1,2-dihydro-8-methoxy-1-methyl-2-oxo-5-quinolinyl)methyl]-2,4-dioxo-, methyl ester (CA INDEX NAME)



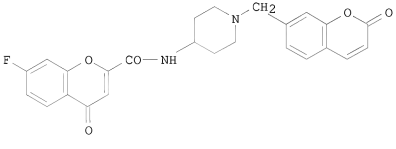
L6 ANSWER 7 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:141806 CAPLUS
DN 146:372897
TI Species selectivity of a nicotinic acetylcholine receptor agonist is conferred by two adjacent extracellular β4 amino acids that are implicated in the coupling of binding to channel gating
AU Young, Gareth T.; Broad, Lisa M.; Zwart, Ruud; Astles, Peter C.; Bodkin, Michael; Sher, Emanuele; Millar, Neil S.
CS Department of Pharmacology, University College London, London, UK
SO Molecular Pharmacology (2007), 71(2), 389-397
CODEN: MOPMA3; ISSN: 0026-895X
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB 5-(Trifluoromethyl)-6-(1-methyl-azepan-4-yl)methyl-1H-quinolin-2-one (TMAQ) is a novel nicotinic acetylcholine receptor (nAChR) agonist with strong selectivity for β4-containing receptors. TMAQ also exhibits remarkable species selectivity, being a potent agonist of nAChRs containing the human β4 subunit but having no detectable agonist activity on nAChRs containing the rat β4 subunit. With the aim of identifying subunit domains and individual amino acids, which contribute to the species selectivity of TMAQ, a series of chimeric and mutated β4 subunits has been constructed. Recombinant receptors containing wild-type, chimeric, or mutated β4 subunits have been examined by radioligand binding, intracellular calcium assays, and electrophysiol. recording. Two adjacent amino acids located within the extracellular loop D domain of the β4 subunit (amino acids 55 and 56) have been identified as playing a critical role in determining the agonist potency of TMAQ. Mutagenesis of these two residues within the rat β4 subunit to the corresponding amino acids in the human β4 subunit (S55N and I56V mutations) confers sensitivity to TMAQ. The converse mutations in the human β4 subunit (N55S and V56I) largely abolish sensitivity to TMAQ. In contrast, these mutations have little or no effect on sensitivity to the nonselective nicotinic agonist epibatidine. Despite acting as a potent agonist of human β4-containing nAChRs, TMAQ acts as an antagonist of rat β4-containing receptors. Our exptl. data, together with homol. models of the rat and human α3β4 nAChRs, suggest that amino acids 55 and 56 may be involved in the coupling of agonist binding and channel gating.
IT 930782-03-9
RL: PAC (Pharmacological activity); BIOL (Biological study)
(nicotinic receptor species selectivity for nicotinic agonist is conferred by two adjacent extracellular β4 amino acids that are implicated in coupling of binding to channel gating)
RN 930782-03-9 CAPLUS
CN 2(1H)-Quinolinone, 6-[(hexahydro-1-methyl-1H-azepin-4-yl)methyl]-5-(trifluoromethyl)- (CA INDEX NAME)



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:129853 CAPLUS
DN 146:287662
TI An evaluation of 3,4-methylenedioxy phenyl replacements in the aminopiperidine chromone class of MCHr1 antagonists
AU Iyengar, Rajesh R.; Lynch, John K.; Mulhern, Mathew M.; Judd, Andrew S.; Freeman, Jennifer C.; Gao, Ju; Souers, Andrew J.; Zhao, Gang; Wodka, Dariusz; Falls, H. Doug; Brodjian, Sevan; Dayton, Brian D.; Reilly, Regina M.; Swanson, Sue; Su, Zhi; Martin, Ruth L.; Leitza, Sandra T.; Houseman, Kathryn A.; Diaz, Gilbert; Collins, Christine A.; Sham, Hing L.; Kym, Philip R.
CS Metabolic Disease Research, Abbott Laboratories, Abbott Park, IL, 60064, USA
SO Bioorganic & Medicinal Chemistry Letters (2007), 17(4), 874-878
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 146:287662
GI



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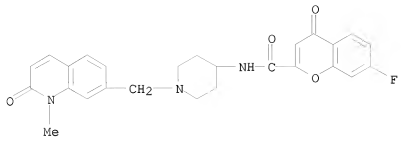
AB The optimization of potent MCHr1 antagonist 1 with respect to improving its in vitro profile by replacement of the 3,4-methylenedioxy Ph (piperonyl) moiety led to the discovery of 19 (I), a compound that showed excellent MCHr1 binding and functional potencies in addition to possessing superior hERG separation, CYP3A4 profile, and receptor cross-reactivity profiles.
IT 865449-69-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aminopiperidine chromones as MCHr1 antagonists)
RN 865449-69-0 CAPLUS
CN 4H-1-Benzopyran-2-carboxamide, N-[1-[(1,2-dihydro-1-methyl-2-oxo-7-quinolinyl)methyl]-4-piperidinyl]-7-fluoro-4-oxo- (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:88171 CAPLUS
DN 146:184494
TI Preparation of piperazinone derivatives as histamine H3 receptor antagonists and/or inverse agonists
IN Ancliff, Rachael Ann; Bamford, Mark James; Hodgson, Simon Teanby; Parr, Christopher Allan; Procopiou, Panayiotis Alexandrou; Wilson, David Matthew; Woodrow, Michael
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 77pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007009741	A1	20070125	WO 2006-EP7036	20060717
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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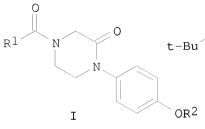
	JP 2009501745	T	20090122	JP 2008-521869	20060717
	US 20080275027	A1	20081106	US 2008-995929	20080702
PRAI	GB 2005-14812	A	20050719		
	WO 2006-EP7036	W	20060717		

OS MARPAT 146:184494
GI



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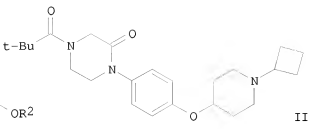


II

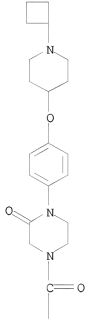
AB The title compds. I [wherein R1 = alkyl, alkoxy, aryl, etc.; R2 = (un)substituted aminoalkyl, heterocyclylalkyl, etc.; with a proviso] or salts or solvates thereof are prepared for the treatment of various disorders, such as allergic rhinitis. For example, the compound II•HCl was prepared in a multi-step synthesis. Most of compds. I showed pKi (pKb) of >8.0 μM and <6.0 μM against human H3 and H1 receptors, resp.

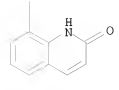
IT 921615-58-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazinone derivs. as histamine H3 receptor antagonists and/or inverse agonists)

RN 921615-58-9 CAPLUS

CN 2(1H)-Quinolinone, 8-[[4-[4-[(1-cyclobutyl-4-piperidinyl)oxy]phenyl]-3-oxo-1-piperazinyl]carbonyl]- (CA INDEX NAME)

PAGE 1-A



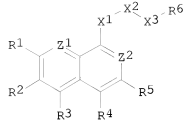


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:410015 CAPLUS
DN 144:450627
TI Preparation of novel nitrogenous heterocyclic compounds and salts thereof as antibacterial agents
IN Kiyoto, Taro; Tsutsui, Yasuhiro; Tanaka, Tadashi; Shimada, Sumie; Nomura, Nobuhiko; Noguchi, Toshiya; Ushiyama, Fumihito; Ushiki, Yasunobu
PA Toyama Chemical Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.
SO PCT Int. Appl., 281 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006046552	A1	20060504	WO 2005-JP19586	20051025
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	JP 2004-311942	A	20041027		

OS MARPAT 144:450627
GI



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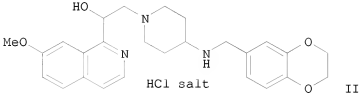


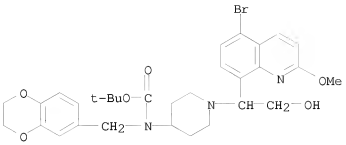
II

AB Compds. represented by the general formula (I) including quinoline or isoquinoline derivs., or salts thereof [wherein R1 = halo, cyano, (un)protected CO2H, (un)substituted alkyl, alkoxy, acyloxy; R2-R5 = H, halo, cyano, (un)protected CO2H, (un)substituted alkyl, alkenyl, alkoxy, NH2, CONH2; Z1, Z2 = N or (un)substituted CH, provided that at least one of Z1 and Z2 = N; X1 = O, S, S(O), S(O)2, each (un)substituted NH or CH2; X2 = a bond, CO, (un)substituted NH; X3 = C1-4 alkylene or a bond; R6 = Q-Q6; wherein R1 = more than one H, halo, (un)substituted HO or CO2H or each (un)substituted NH2, lower alkyl, alkoxy, or CONH2; R11a, R11 b, R11c = H, halo, (un)protected HO or CO2H, (un)substituted NH2, lower alkyl, alkoxy, CONH2; R12 = -X6-X4-R14, -X7-C(:NH)-NH-X5-R14 -X7-CONH-R14; wherein R14 = H, (un)protected CO2H, each (un)substituted cycloalkyl, cycloalkenyl, aralkyl, aryl, or heterocyclyl; X4 = a bond, O, S, CO; X5 = a bond, (un)substituted alkylene; X6 = each (un)substituted alkylene, alkenylene, or alkynylene, SO2; X7 = a bond, (un)substituted alkylene; R13 = H, (un)substituted NH2, each (un)substituted alkyl or aryl] or salts thereof are prepared These compds. have potent antibacterial activity against Gram-neg., Gram-pos., and resistant bacteria with high safety and are therefore useful as excellent antibacterial agents. Thus, reductive alkylation of 2-(4-aminopiperidin-1-yl)-1-(7-methoxyisoquinolin-1-yl)ethanol with 1,4-benzodioxan-6-carboxaldehyde using NaBH4 followed treatment with 4 N HCl/dioxane gave 2-(4-((2,3-dihydrobenzo[b][1,4]dioxin-6-yl)methylamino)piperidin-1-yl)-1-(7-methoxyisoquinolin-1-yl)ethanol hydrochloride (II). II showed min. inhibitory concentration of 0.0313 μg/mL against both Staphylococcus aureus FDA209 and methicillin-resistant S. aureus F3095 (MRSA).

IT 885689-18-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of nitrogenous heterocyclic compds. as antibacterial agents)

RN 885689-18-9 CAPLUS

CN Carbamic acid, [1-[1-(5-bromo-2-methoxy-8-quinolinyl)-2-hydroxyethyl]-4-piperidinyl][(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

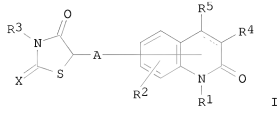
L6 ANSWER 11 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:318485 CAPLUS
DN 144:370081
TI Carbostyril compounds and their preparation, pharmaceutical compositions, and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases
IN Kuroda, Takeshi; Yamauchi, Takahito; Shinohara, Tomoichi; Oshima, Kunio; Kitajima, Chiharu; Nagao, Hitoshi; Fukushima, Tae; Tomoyasu, Takahiro; Ishiyama, Hironobu; Ohta, Kazuhide; Takano, Masaaki; Sumida, Takumi
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006035954	A1	20060406	WO 2005-JP18217	20050926
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005288080	A1	20060406	AU 2005-288080	20050926
	CA 2580811	A1	20060406	CA 2005-2580811	20050926
	JP 3906471	B1	20070418	JP 2006-519041	20050926
	JP 2007512220	T	20070517		
	EP 1797082	A1	20070620	EP 2005-788152	20050926
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 101068810	A	20071107	CN 2005-80037090	20050926
	BR 2005016219	A	20080826	BR 2005-16219	20050926
	US 20070179173	A1	20070802	US 2006-582014	20060607
	IN 2007DN01824	A	20070817	IN 2007-DN1824	20070308

	MX 2007003735	A	20070423	MX 2007-3735	20070328
	KR 2007061902	A	20070614	KR 2007-709483	20070426
	KR 823414	B1	20080417		
	KR 2007072632	A	20070704	KR 2007-714064	20070621
	KR 840465	B1	20080620		
PRAI	JP 2004-282814	A	20040928		
	WO 2005-JP18217	W	20050926		
	KR 2007-709483	A3	20070426		

OS CASREACT 144:370081; MARPAT 144:370081

GI



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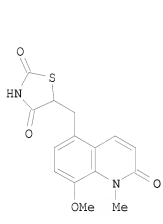
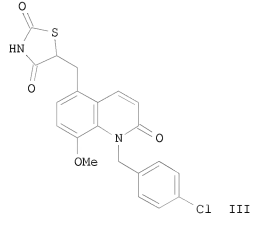


II



III

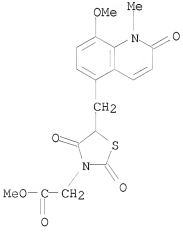
AB The invention provides carbostyril compds. represented by formula I or salts thereof, and their pharmaceutical compns., prepns. and use for transcription promotion activity of TFF2. The carbostyril compds. or salts thereof, of the invention, induces the production of TFF, and thus is usable for the treatment and/or prevention of disorders such as alimentary tract diseases, oral diseases, upper respiratory tract diseases, respiratory tract diseases, eye diseases, cancers, and wounds. Compds. of formula I wherein A is a bond, a lower alkylene group, or a lower alkylidene group; X is O or S; the dotted line is a single or a double bond; R4 and R5 are independently H, with the provision that dotted line is a double bond; or R4–R5 may be linked together to form a CH=CH–CH=CH group; R1 is H, lower alkyl, (un)substituted Ph lower alkyl, cycloalkyl lower alkyl, phenoxy lower alkyl, naphthyl lower alkyl, lower alkoxy lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl, (un)substituted pyridyl lower alkyl, cyano lower alkyl, etc.; R2 is H,

lower alkoxy, lower alkyl, carboxy lower alkyl, lower alkoxycarbonyl lower alkoxy, HO, (un)substituted Ph lower alkoxy, (un)substituted piperidinyl(oxy) lower alkyl, lower alkenyloxy, (un)substituted pyridyl lower alkoxy, lower alkynyloxy, Ph lower alkenyloxy, Ph lower alkynyloxy, (un)substituted furyl lower alkoxy, (un)substituted oxadiazolyl lower alkyl, or (un)substituted thiazolyl lower alkoxy, etc.; R3 is H, lower (HO-substituted) alkyl, cycloalkyl lower alkyl, carboxyl lower alkyl, lower alkoxycarbonyl lower alkyl, (un)substituted Ph lower alkyl, naphthyl lower alkyl, (un)substituted furyl lower alkyl, (un)substituted thiazolyl lower alkyl, (un)substituted tetrazolyl, or (un)substituted benzothienyl, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by heterocyclization of 2-chloro-3-(8-methoxy-1-methyl-2-oxo-1,2-dihydroquinolin-5-yl)propionic acid with thiourea. All the invention compds. were evaluated for the transcription promoting activity of hTFF2. From the assay, it was determined that some invention compds., including compound III, showed TFF2 production activity of 1000% or higher at a test compound concentration of 10-6M concentration Some invention compds. showed a TFF2 production promoting activity of 300% or higher at a test compound concentration is less than 10-5M and preferably more than 10-6M. Example compound III and a few other compds. showed >20% healing ratio of the ulcerated area, which indicated that these compds. may be effective in preventing and/or treating mucosal injury.

IT 882017-27-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of carbostyril compds. and their transcription promoting activity of TFF2 for treatment and/or prevention of various diseases)

RN 882017-27-8 CAPLUS

CN 3-Thiazolidineacetic acid, 5-[(1,2-dihydro-8-methoxy-1-methyl-2-oxo-5-quinolinyl)methyl]-2,4-dioxo-, methyl ester (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:231071 CAPLUS
DN 144:292775
TI Preparation of 7-butynylisoxanthines as dipeptidylpeptidase-IV (DPP-IV) inhibitors
IN Eckhardt, Matthias; Himmelsbach, Frank; Langkopf, Elke; Tadayyon, Mohammad; Thomas, Leo
PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co. KG
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006027204	A1	20060316	WO 2005-EP9548	20050906
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	DE 102004043944	A1	20060330	DE 2004-102004043944	20040911
	US 20060058323	A1	20060316	US 2005-218057	20050901
	US 7495003	B2	20090224		
	CA 2575751	A1	20060316	CA 2005-2575751	20050906
	EP 1791844	A1	20070606	EP 2005-790339	20050906
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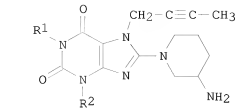
	JP 2008512412	T	20080424	JP 2007-530635	20050906
PRAI	DE 2004-102004043944	A	20040911		
	WO 2005-EP9548	W	20050906		

OS CASREACT 144:292775; MARPAT 144:292775
GI



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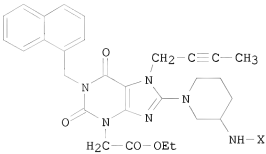


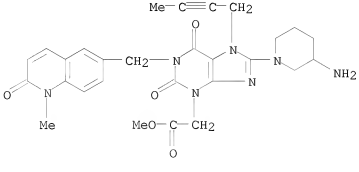
II

AB Title compds. I [R1 = arylmethyl, arylethyl, heteroarylmethyl, etc.; R2 = tetrazolyl, hydroxysulfonyl, CN, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, TFA medaited deprotection of Boc-amine II (X = Boc) afforded claimed isoxanthine II (X = H) in 29% yield. In dipeptidylpeptidase-IV inhibition assays, 2-examples of compds. I exhibited IC50 an value of 3 nM.

IT 1054304-67-4
RL: PRPH (Prophetic)
(Preparation of 7-butynylisoxanthines as dipeptidylpeptidase-IV (DPP-IV) inhibitors)

RN 1054304-67-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:29518 CAPLUS

DN 144:108352
TI Preparation of quinazolinone derivatives as PARP inhibitors
IN Guillemont, Jerome Emile Georges; Kennis, Ludo Edmond Josephine; Mertens, Josephus Carolus; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006003146	A1	20060112	WO 2005-EP53029	20050628
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	AU 2005259188	A1	20060112	AU 2005-259188	20050628
	AU 2005259192	A1	20060112	AU 2005-259192	20050628
	CA 2568835	A1	20060112	CA 2005-2568835	20050628
	CA 2569826	A1	20060112	CA 2005-2569826	20050628
	WO 2006003150	A1	20060112	WO 2005-EP53034	20050628
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	EP 1763518	A1	20070321	EP 2005-756772	20050628
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	EP 1771422	A1	20070411	EP 2005-769923	20050628
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	CN 1976906	A	20070606	CN 2005-80021337	20050628
	CN 1980899	A	20070613	CN 2005-80022259	20050628
	JP 2008504347	T	20080214	JP 2007-518606	20050628
	JP 2008504350	T	20080214	JP 2007-518610	20050628
	BR 2005012790	A	20080408	BR 2005-12790	20050628
	BR 2005012797	A	20080408	BR 2005-12797	20050628

	US 20080070915	A1	20080320	US 2006-569892	20061201
	US 20080176876	A1	20080724	US 2006-570023	20061204
	MX 2006014543	A	20070323	MX 2006-14543	20061213
	MX 2006014933	A	20070228	MX 2006-14933	20061218
	KR 2007031944	A	20070320	KR 2006-726804	20061220
	IN 2006DN07959	A	20070427	IN 2006-DN7959	20061228
	IN 2006DN08001	A	20070803	IN 2006-DN8001	20061229
	KR 2007043968	A	20070426	KR 2007-700916	20070115
	NO 2007000532	A	20070129	NO 2007-532	20070129
	NO 2007000555	A	20070130	NO 2007-555	20070130
PRAI	EP 2004-76887	A	20040630		
	WO 2005-EP53029	W	20050628		
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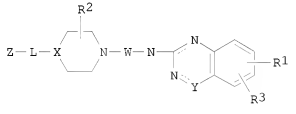
OS CASREACT 144:108352; MARPAT 144:108352

GI



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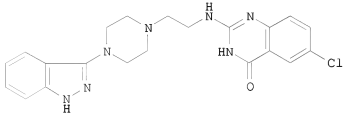


II

AB Title compds. I [W = C1-6alkanediyl; X = N, CH; NY = NCO, N=CR4; R4 = OH; L = bond, bivalent radical selected from CO, CONH, etc.; R1 = H, halo, alkoxy, etc.; R2 = H, OH, alkoxy, etc.; when X is substituted with R2, then R2 taken together with LZ can form a bivalent radical CONHCH2NH10; R10 = phenyl; R3 = H, alkoxy; Z = amino, CN, etc.] are prepared For instance, II is prepared in 3 steps from 3-(1-piperazinyl)-1H-indazole, chloroacetonitrile and 6-chloro-2-methylthio-4(1H)-quinazolinone. II has pIC50 = 8.11 for poly(ADP-ribose) polymerase 1 (PARP-1). I are useful for the treatment of PARP-1 mediated disorders.

IT 873107-37-0P

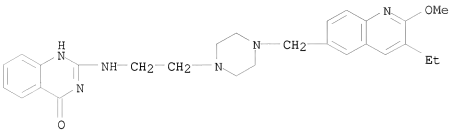
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolinone derivs. as parp inhibitors)

RN 873107-37-0 CAPLUS

CN 4(1H)-Quinazolinone, 2-[[2-[4-[(3-ethyl-2-methoxy-6-quinolinyl)methyl]-1-

piperazinyl]ethyl]amino]- (CA INDEX NAME)

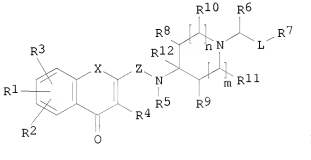


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:1028084 CAPLUS
DN 143:326219
TI Preparation of piperidinyl chromenecarboxamides as antagonists of melanin concentrating hormone effects on the melanin concentrating hormone receptor
IN Lynch, John K.; Collins, Christine A.; Freeman, Jennifer C.; Gao, Ju; Iyengar, Rajesh R.; Judd, Andrew S.; Kym, Philip R.; Mulhern, Mathew M.; Sham, Hing L.; Souers, Andrew J.; Zhao, Gang; Wodka, Dariusz
PA USA
SO U.S. Pat. Appl. Publ., 77 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20050209274	A1	20050922	US 2005-65918	20050225
PRAI US 2004-547968P	P	20040226		

OS CASREACT 143:326219; MARPAT 143:326219
GI



I

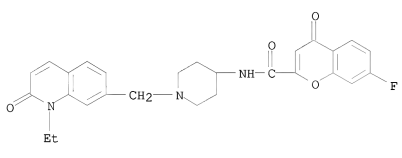
AB The present invention is directed to compds. of formula (I, variables defined below), which antagonize the effects of melanin-concentrating hormone (MCH) through the melanin concentrating hormone receptor which is useful for the

prevention or treatment of eating disorders, weight gain, obesity, abnormalities in reproduction and sexual behavior, thyroid hormone secretion, diuresis and water/electrolyte homeostasis, sensory processing, memory, sleeping, arousal, anxiety, depression, seizures, neurodegeneration and psychiatric disorders. The variables for I are: L = a bond or alkylene, alkenylene, alkynylene, CH2O, SO2NH, C(O)NH, NHCO, NHSO2, CO, SO and SO2; X = O and N(R13); Z = CH2, C(N-Rc), CO and CS; m = 1 or 2; n = 0-2; R1, R2 and R3 = H, alkenyl, alkoxy, alkyl, alkylcarbonyl, alkylcarbonyl-NH-, alkyl-NH-carbonyl, alkylsulfonyl-NH-, alkyl-NH-sulfonyl, alkylsulfonyl, alkylthio, alkynyl, cyano, halogen, haloalkyl, haloalkoxy, haloalkylthio, nitro, RaRbN, RaRbNC(O)- or R1 and R2 together with intervening atoms form a heteroaryl or heterocycle; R4 = H, alkyl, alkylcarbonyl-NH-, alkylsulfonyl-NH-, aryl and halogen; R5 = H and alkyl; R6 = H, alkyl, aryl, cycloalkyl, heteroaryl and heterocycle; R7 = aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocycle and heterocyclealkyl, or R6 and R7 together with attached atoms form a cycloalkyl or heterocycle; R8 = H, alkyl and alkoxy; R9 = H and alkyl; R10 and R11= H, alkyl, alkoxylalkyl, or R10 and R11 together with intervening atoms form a 5, 6, or 7-membered ring; R12 = H and alkyl; R13 = H, alkyl, aryl, cycloalkyl, heteroaryl and heterocycle; Ra and Rb = H, alkoxycarbonyl, alkyl, alkylcarbonyl, alkyl-NH-carbonyl, alkylsulfonyl, aryl and arylalkyl or Ra and Rb together with the attached N form a heteroaryl or heterocycle; and Rc = H and alkyl; provided that at least one of R1, R2 or R3 are not H. Pharmaceutical formulations containing I are also claimed.

IT 865449-44-1P, N-[1-[(1-Ethyl-2-oxo-1,2-dihydroquinolin-7-yl)methyl]piperidin-4-yl]-7-fluoro-4-oxo-4H-chromene-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidinyl chromenecarboxamides as antagonists of melanin concentrating hormone for treating various diseases)

RN 865449-44-1 CAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-[1-[(1-ethyl-1,2-dihydro-2-oxo-7-quinolinyl)methyl]-4-piperidinyl]-7-fluoro-4-oxo- (CA INDEX NAME)



L6 ANSWER 15 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:614590 CAPLUS

DN 143:133377

TI Preparation of triazole derivatives as vasopressin antagonists

IN Bryans, Justin Stephen; Johnson, Patrick Stephen; Roberts, Lee Richard; Ryckmans, Thomas

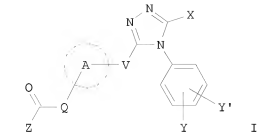
PA Pfizer Inc., USA

SO U.S. Pat. Appl. Publ., 73 pp.

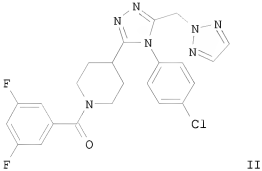
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20050154024	A1	20050714	US 2004-9768	20041210
	AU 2004309164	A1	20050714	AU 2004-309164	20041209
	AU 2004309164	B2	20071115		
	CA 2551038	A1	20050714	CA 2004-2551038	20041209
	WO 2005063754	A1	20050714	WO 2004-IB4059	20041209
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1701959	A1	20060920	EP 2004-801354	20041209
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
	CN 1898244	A	20070117	CN 2004-80038492	20041209
	BR 2004017267	A	20070417	BR 2004-17267	20041209
	JP 2007515468	T	20070614	JP 2006-546356	20041209
	TW 287541	B	20071001	TW 2004-93139507	20041217
	NL 1027833	A1	20050623	NL 2004-1027833	20041221
	NL 1027833	C2	20060306		
	IN 2006DN02824	A	20070803	IN 2006-DN2824	20060518
	MX 2006006155	A	20060719	MX 2006-6155	20060531
	KR 854872	B1	20080828	KR 2006-712328	20060621
	NO 2006003380	A	20060922	NO 2006-3380	20060721
PRAI	GB 2003-29693	A	20031222		
	US 2004-539509P	P	20040127		
	GB 2004-8789	A	20040420		
	US 2004-570336P	P	20040512		
	WO 2004-IB4059	W	20041209		

OS CASREACT 143:133377; MARPAT 143:133377
GI



I



II

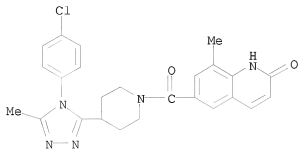
AB The title compds. I [X = (CH2)aR or (CH2)aO(CH2)bR; a = 0-6; b = 0-6; R = H, CF3 or Het; Het = (un)substituted 5- or 6-membered saturated, partially saturated or aromatic heterocyclic ring; Y = represents one or more substituents independently selected from (O)c(CH2)dR1; c = 0-1; d = 0-6; R1 = H, halo, CF3, CN or Het1; Het1 = 5- or 6-membered unsatd. heterocyclic ring; V = a direct link or O; Ring A = (un)substituted 5- to 7-membered saturated heterocyclic ring, or a phenylene group; Q = a direct link or NR2; R2 = H, alkyl; Z = (O)e(CH2)fR3, a Ph ring (optionally fused to a benzene ring or Het2), or Het3 (optionally fused to an benzene ring or Het4); R3 = (un)substituted alkyl, cycloalkyl, cycloalkenyl, Ph, etc.; e = 0-1; f = 0-6; Het2 = 5-6 membered saturated, partially saturated or aromatic heterocyclic ring; Het3 = 4-6 membered saturated, partially saturated or aromatic heterocyclic ring; Het4 = 6-membered aromatic heterocyclic ring], useful for treating a disorder for which a V1a antagonist is indicated, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-hydrazinocarbonylpiperidine-1-carboxylate, was given. Some of the compds. I were synthesized as a library. All the exemplified compds. I showed a Ki value of less than 500 nM when tested in screen 1.0 (V1A filter binding assay). For example, the compound II showed Ki of 2.98 nM.

IT 859151-42-1P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of triazole derivs. as vasopressin antagonists)

RN 859151-42-1 CAPLUS

CN 2(1H)-Quinolinone, 6-[[4-[4-(4-chlorophenyl)-5-methyl-4H-1,2,4-triazol-3-

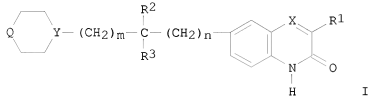
yl]-1-piperidinyl]carbonyl]-8-methyl- (CA INDEX NAME)



L6 ANSWER 16 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:56?163 CAPLUS
DN 143:?8213
TI Preparation of cyclohexylalkyl quinolinone and quinoxalinone derivatives as poly(ADP-ribose) polymerase (PARP) inhibitors
IN Mabire, Dominique Jean-Pierre; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PA Janssen Pharmaceutica N. V., Belg.
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005058843	A1	20050630	WO 2004-EP13165	20041118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004299183	A1	20050630	AU 2004-299183	20041118
CA 2548273	A1	20050630	CA 2004-2548273	20041118
EP 1694653	A1	20060830	EP 2004-803192	20041118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
CN 1890225	A	20070103	CN 2004-80036656	20041118
BR 2004017571	A	20070320	BR 2004-17571	20041118
JP 2007513898	T	20070531	JP 2006-543409	20041118
US 20090042881	A1	20090212	US 2006-596083	20060530
MX 2006006573	A	20060731	MX 2006-6573	20060609
IN 2006DN03331	A	20070824	IN 2006-DN3331	20060609
KR 2006108753	A	20061018	KR 2006-713344	20060703
NO 2006003129	A	20060705	NO 2006-3129	20060705

PRAI EP 2003-78918 A 20031210
WO 2004-EP13165 W 20041118
OS CASREACT 143:78213; MARPAT 143:78213
GI



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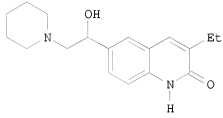


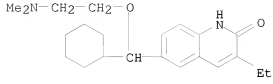
II

AB Title compds. I [n = 0-1; m = 0-1; X = N, CR4; Y = N, CH; Q = NH, O, CO, etc.; R1 = alkyl, thienyl; R2 = H or together with R3 may form O; R3 = H, alkyl, OH, etc. or R3 = (CH2)pZ; R4 = H or together with R1 may form (CH=CH)2; p = 0-2; Z = (un)substituted heterocycle] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of poly(ADP-ribose) polymerase (PARP). Thus, e.g., II was prepared by reaction of 3-ethyl-2(1H)-quinolinone with chloro-acetyl chloride followed by coupling with piperidine and subsequent reduction The inhibitory activity of I towards PARP-1 was evaluated in scintillation proximity assays and in filtration assays and it was revealed that compds. of the invention displayed inhibitory activity at initial test concns. of 10-6 and 10-5 M, resp. I as inhibitors of poly(ADP-ribose) polymerase should prove useful in the treatment of PARP-1 mediated disorders. Pharmaceutical compns. comprising I are disclosed.

IT 855444-04-1P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of cyclohexylalkyl quinolinone and quinoxalinone derivs. as poly(ADP-ribose) polymerase (PARP) inhibitors)

RN 855444-04-1 CAPLUS

CN 2(1H)-Quinolinone, 6-[cyclohexyl[2-(dimethylamino)ethoxy]methyl]-3-ethyl- (CA INDEX NAME)



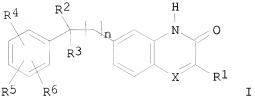
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:523429 CAPLUS
DN 143:60002
TI Preparation of 7-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors
IN Mabire, Dominique Jean-pierre; Guillemont, Jerome Emile Georges; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PA Janssen Pharmaceutica N. V., Belg.
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

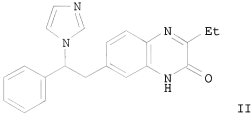
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005054209	A1	20050616	WO 2004-EP13162	20041118
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004295057	A1	20050616	AU 2004-295057	20041118
	CA 2546002	A1	20050616	CA 2004-2546002	20041118
	EP 1709011	A1	20061011	EP 2004-819600	20041118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
	CN 1882549	A	20061220	CN 2004-80034287	20041118
	BR 2004016817	A	20070306	BR 2004-16817	20041118
	JP 2007513087	T	20070524	JP 2006-540337	20041118
	US 20080249099	A1	20081009	US 2006-595882	20060517
	IN 2006DN02810	A	20070803	IN 2006-DN2810	20060518
	MX 2006005686	A	20060817	MX 2006-5686	20060519
	KR 2006111532	A	20061027	KR 2006-710200	20060525
	NO 2006002892	A	20060809	NO 2006-2892	20060620
PRAI	EP 2003-78650	A	20031120		
	WO 2004-EP13162	W	20041118		

OS CASREACT 143:60002; MARPAT 143:60002

GI



I



II

AB The title compds. I [n = 0-2; X = N, CR7; R7 = H or taken together with R1 may form CH:CHCH:CH; R1 = alkyl, thienyl; R2 = H, OH, alkyl, alkynyl or taken together with R3 may form O; R3 = OH, OR10, SR11, etc.; R10 = alkyl, alkylcarbonyl, dialkylaminoalkyl; R11 = dialkylaminoalkyl; R4-R6 = H, halo, trihalomethyl, etc.; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from N-[4-(2-oxo-2-phenylethyl)phenyl]acetamide, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

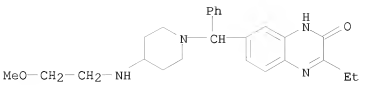
IT 854397-82-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

RN 854397-82-3 CAPLUS

CN 2(1H)-Quinoxalinone, 3-ethyl-7-[[4-[(2-methoxyethyl)amino]-1-piperidinyl]phenylmethyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 854397-81-2
CMF C25 H32 N4 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

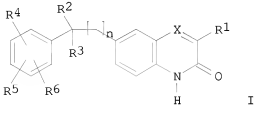
L6 ANSWER 18 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:523424 CAPLUS
DN 143:60001
TI Preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors
IN Mabire, Dominique Jean-pierre; Guillemont, Jerome Emile Georges; Van Dun, Jacobus Alphonsus Josephus; Somers, Maria Victorina Francisca; Wouters, Walter Boudewijn Leopold
PA Janssen Pharmaceutica N. V., Belg.
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005054201	A1	20050616	WO 2004-EP13163	20041118
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004295058	A1	20050616	AU 2004-295058	20041118
	CA 2546300	A1	20050616	CA 2004-2546300	20041118
	EP 1687277	A1	20060809	EP 2004-819601	20041118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

	CN 1882547	A	20061220	CN 2004-80034176	20041118
	BR 2004016206	A	20061226	BR 2004-16206	20041118
	JP 2007511574	T	20070510	JP 2006-540338	20041118
	US 20070072842	A1	20070329	US 2006-595891	20060518
	IN 2006DN02813	A	20070803	IN 2006-DN2813	20060518
	MX 2006005687	A	20060817	MX 2006-5687	20060519
	KR 2006115393	A	20061108	KR 2006-710201	20060525
	NO 2006002894	A	20060809	NO 2006-2894	20060620
PRAI	WO 2003-EP13028	A	20031120		
	EP 2003-78860	A	20031205		
	WO 2003-EP130	A	20031120		
	WO 2004-EP13163	W	20041118		

OS CASREACT 143:60001; MARPAT 143:60001

GI



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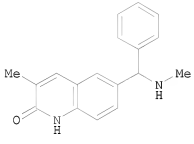


II

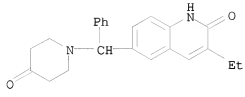
AB The title compds. I [n = 0-2; X = N, CR7; R7 = H or taken together with R1 may form CH:CHCH:CH; R1 = alkyl, thiophenyl; R2 = H, OH, alkyl, alkynyl or taken together with R3 may form O; R3 = OH, OR10, SR11, etc.; R10, R11 = CHO, alkyl, (alkyl)amino, etc.; R4-R6 = H, halo, trihalomethyl, etc.; with the provision], useful for the treatment of a PARP mediated disorder, were prepared E.g., a multi-step synthesis of II, starting from bromobenzene and 3-methyl-6-quinolinecarboxaldehyde, was given. The exemplified compds. I were tested in an in vitro assay based on SPA technol. and in an in vitro filtration assay assessing PARP-1 activity (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 854533-52-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 6-alkenyl and 6-phenylalkyl substituted 2-quinolinones and 2-quinoxalinones as poly(ADP-ribose) polymerase inhibitors)

RN 854533-52-1 CAPLUS

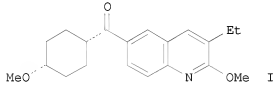
CN 2(1H)-Quinolinone, 3-ethyl-6-[(4-oxo-1-piperidinyl)phenylmethyl]- (CA

INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:80538 CAPLUS
DN 142:316680
TI Synthesis, Structure-Activity Relationship, and Receptor Pharmacology of a New Series of Quinoline Derivatives Acting as Selective, Noncompetitive mGlu1 Antagonists
AU Mabire, Dominique; Coupa, Sophie; Adelinet, Christophe; Poncelet, Alain; Simonnet, Yvan; Venet, Marc; Wouters, Ria; Lesage, Anne S. J.; Van Beijsterveldt, Ludy; Bischoff, Francois
CS Department of Medicinal Chemistry, Johnson & Johnson Pharmaceutical Research Development, Val de Reuil, F-27106, Fr.
SO Journal of Medicinal Chemistry (2005), 48(6), 2134-2153
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:316680
GI



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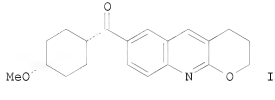


II

AB Acyl-substituted quinolines and fused quinolines such as I and II are prepared as noncompetitive antagonists of the metabotropic glutamate receptor mGluR1; their activities in recombinant and human mGluR1 and the metabolic stabilities of some of the compds. in human liver microsomes are determined Methoxycyclohexylcarbonylquinoline I is prepared and found to be a

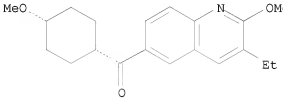
mGlu1 antagonist with an IC50 value of 20 nM for the rat mGlu1 receptor. Using I as a lead compound, other quinolines are prepared and tested for antagonism of mGluR1; cis-methoxycyclohexanecarbonylpyranoquinoline II is found to antagonize human mGluR1 in a signal transduction-mediated assay with an IC50 value of 0.55 nM. 77% Of a 30 μM solution of II is metabolized by human liver microsomes in 30 min.; analogous data for other quinolines are obtained.

IT 409340-66-5P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation, structure-activity relationships, and metabolic stabilities of quinolines and fused quinolines prepared as competitive antagonists for the metabotropic glutamate receptor mGluR1)

RN 409340-66-5 CAPLUS

CN Methanone, (3-ethyl-2-methoxy-6-quinolinyl)(cis-4-methoxycyclohexyl)- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:927005 CAPLUS

DN 141:395806

TI Preparation of quinoxalinyl macrocyclic hepatitis C serine protease inhibitors

IN Nakajima, Suanne; Sun, Ying; Tang, Datong; Xu, Gouyou; Porter, Brian; Or, Yat Sun; Wang, Zhe; Miao, Zhenwei

PA Enanta Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 131 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

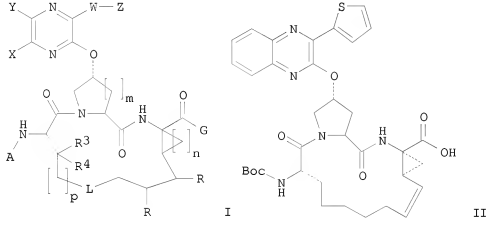
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004093798	A2	20041104	WO 2004-US11841	20040416
WO 2004093798	A3	20051208		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

	ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU	2004231987	A1	20041104	AU 2004-231987	20040416
CA	2522561	A1	20041104	CA 2004-2522561	20040416
US	20040266668	A1	20041230	US 2004-826743	20040416
US	7176208	B2	20070213		
EP	1615613	A2	20060118	EP 2004-750236	20040416
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN	1788006	A	20060614	CN 2004-80012928	20040416
JP	2007525455	T	20070906	JP 2006-513078	20040416
US	20070060510	A1	20070315	US 2006-489011	20060718
US	7368452	B2	20080506		
US	20080152622	A1	20080626	US 2008-43421	20080306
PRAI US	2003-418759	A	20030418		
US	2003-509071P	P	20030418		
US	2004-826743	A1	20040416		
WO	2004-US11841	W	20040416		
US	2006-489011	A1	20060718		
OS	MARPAT 141:395806				

GI



I II

AB The invention relates to macrocyclic compds. I [A is H, CO2R1, COR2, CONHR2, CSNHR2 or SO2R2; G is OH, alkoxy, NHSO2R1, COR2, CO2R1 or CONHR2; L is S, SCH2, SO2, O, COCH2, CHMeCH2, etc.; m, n = 0-2; p = 0-4; R2 is a bond or H2; R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, arylalkyl,heteroaryl, heteroarylalkyl or heterocycloalkyl; R2 is any group given for R1 or mono- or dialkylamino or -arylamino; R3, R4 not defined; X and Y taken together with the carbon atoms to which they are attached form (un)substituted aryl or heteroaryl; W is absent, O, S, NH, C(O)NR1 or NR1; Z is H, -CN, -SCN, -NCO, -NCS, NHNH2, N3, halo, cycloalkyl, aryl, etc.] or their pharmaceutically-acceptable salts, esters or prodrugs which inhibit serine protease activity, particularly the activity of hepatitis C virus (HCV) NS3-NS4A protease. The compds. of the invention interfere with the life cycle of the hepatitis C virus and are also useful as antiviral agents. Thus, macrocycle II (Boc =

tert-butoxycarbonyl) was prepared via peptide coupling and ring-closing metathesis reactions.

IT 787600-46-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoxalinyl cyclic peptides as hepatitis C serine protease inhibitors)

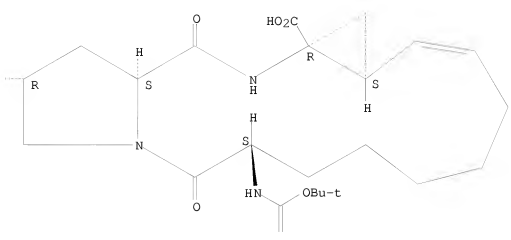
RN 787600-46-8 CAPLUS

CN Cyclopropa[e]pyrrolo[1,2-a][1,4]diazacyclopentadecine-14a(5H)-carboxylic acid, 6-[[(1,1-dimethylethoxy)carbonyl]amino]-1,2,3,6,7,8,9,10,11,13a,14,15,16,16a-tetradecahydro-5,16-dioxo-2-[[6-(1-piperidinylmethyl)-3-(2-thienyl)-2-quinoxalinyl]oxy]-, (2R,6S,13aS,14aR,16aS)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A

PAGE 1-B



PAGE 2-B

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:534173 CAPLUS
DN 141:89016
TI Preparation of benzimidazolylazabicyclooctylethylpiperidines as Ccr5 antagonists for the treatment of HIV infection
IN Kazmierski, Wieslaw Mieczyslaw; Aquino, Christopher Joseph; Bifulco, Neil; Boros, Eric Eugene; Chauder, Brian Andrew; Chong, Pek Yoke; Duan, Maosheng; Deanda, Felix, Jr.; Koble, Cecilia Suarez; Mclean, Ed Williams; Peckham, Jennifer Poole; Perkins, Angilique C.; Thompson, James Benjamin; Vanderwall, Dana
PA Smithkline Beecham Corporation, USA; et al.; et al.
SO PCT Int. Appl., 859 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004054974	A2	20040701	WO 2003-US39644	20031212
	WO 2004054974	A3	20040902		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA	2509711	A1	20040701	CA 2003-2509711	20031212
AU	2003300902	A1	20040709	AU 2003-300902	20031212
EP	1569646	A2	20050907	EP 2003-813419	20031212

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR	2003017230	A	20051025	BR 2003-17230	20031212
CN	1744899	A	20060308	CN 2003-80109628	20031212
JP	2006511554	T	20060406	JP 2004-560838	20031212
NO	2005002739	A	20050819	NO 2005-2739	20050607
US	20060229336	A1	20061012	US 2005-538144	20050609
MX	2005006354	A	20050826	MX 2005-6354	20050613
IN	2005KN01328	A	20060630	IN 2005-KN1328	20050711
ZA	2005005600	A	20060927	ZA 2005-5600	20050712
PRAI US	2002-433634P	P	20021213		
	WO 2003-US39644	W	20031212		

OS MARPAT 141:89016

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. I [R1 = (optionally substituted) alkyl, aryl, heteroaryl, carbocyclyl; R2 = H, (optionally substituted) alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroarylalkyl, heteroarylcycloalkyl, aralkylcarbonyl, heteroarylsulfinyl; R3 = H, halo, cyano, trifluoromethyl, (optionally substituted) amino, acylamino, alkyl; X = C1-5 alkylene, optionally substituted with oxo or thioxo groups or halogen atoms, and optionally containing 1-3 oxygen, nitrogen, sulfur, or phosphorus atoms; Y = carbonyl, thiocarbonyl, 1,2-dioxoethylene, oxyalkylcarbonyl, sulfinyl, sulfonyl, oxycyanoimino, (optionally substituted) aminocarbonyl, carbonylamino, aminothiocarbonyl, oxyiminomethyl, thioiminomethyl, amino(cyanoimino)methyl, (cyanoimino)methyl, amino(acylimino)methyl, amino(sulfonylimino)methyl, amino(sulfinylimino)methyl, amino(alkoxyimino)methyl, amino(imino)methyl, (cyanoimino)methoxy, iminomethoxy, (cyanoimino)methanethiyl, alkylcarbonyloxy; A = saturated, partially saturated, or aromatic monocyclic ring with 5-6 atoms or a bicyclic ring with 8-10 members containing 0-5 nitrogen, oxygen, and/or sulfur atoms] such as II are prepared I are prepared as Ccr5 antagonists for the treatment of viral infections, (particularly HIV infection), related syndromes such as AIDS-related complex (ARC), progressive generalized lymphadenopathy, Kaposi's sarcoma, and neurol. conditions, and other diseases such as multiple sclerosis, rheumatoid arthritis, Crohn's disease, and immune-mediated disorders. The invention compds. have pIC50 values of ≥5 in assays for Ccr5 antagonism.

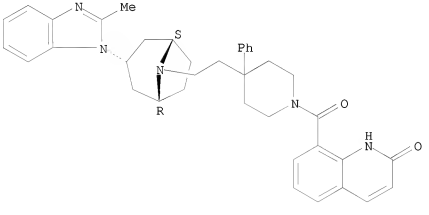
Piperidineacetaldehyde III is prepared in four steps from 4-phenyl-4-piperidinecarbonitrile by protection of the piperidine with Boc anhydride, reduction of the nitrile with diisobutylaluminum hydride, Wittig olefination with methoxymethylphosphonium chloride, and hydrolysis of the enol ether with catalytic p-toluenesulfonic acid monohydrate. The hydrochloride of endo-(benzimidazolyl)azabicyclooctane IV is prepared in five steps from tert-Bu endo-3-oxo-8-azabicyclo[3.2.1]octane-8-carboxylate; reductive amination with benzylamine, reductive cleavage of the benzyl group by palladium-mediated hydrogenation, a nucleophilic aryl substitution reaction with 1-fluoro-2-nitrobenzene, reduction of the nitro group by hydrogenation over palladium on carbon, and treatment with tri-Et orthoacetate followed by treatment with hydrochloric acid in ethanol. Coupling of III and IV by reductive amination with sodium triacetoxyborohydride, cleavage of the Boc group with hydrochloric acid in dioxane, and acylation with pivaloyl chloride and triethylamine yields II.

IT 716355-82-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazolylazabicyclooctylethylpiperidine Ccr5 antagonists in treatment of bacterial and viral infections and other diseases)

RN 716355-82-7 CAPLUS

CN 2(1H)-Quinolinone, 8-[[4-[2-[(3-endo)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl]-4-phenyl-1-piperidinyl]carbonyl]- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:493705 CAPLUS

DN 141:54352

TI Production and use of novel substituted imidazopyridinones and imidazopyridazones as medicaments

IN Hauel, Norbert; Himmelsbach, Frank; Langkopf, Elke; Eckhardt, Matthias; Maier, Roland; Mark, Michael; Tadayyon, Mohammad; Kauffmann-Hefner, Iris

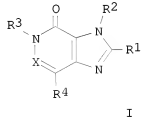
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany

SO PCT Int. Appl., 123 pp.

CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004050658	A1	20040617	WO 2003-EP13648	20031203
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10256264	A1	20040624	DE 2002-10256264	20021203
	DE 10309927	A1	20040916	DE 2003-10309927	20030307
	US 20050020574	A1	20050127	US 2003-726214	20031202
	US 7109192	B2	20060919		
	CA 2508233	A1	20040617	CA 2003-2508233	20031203
	AU 2003293757	A1	20040623	AU 2003-293757	20031203
	EP 1569936	A1	20050907	EP 2003-789123	20031203
	EP 1569936	B1	20090318		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006514980	T	20060518	JP 2004-570687	20031203
PRAI	DE 2002-10256264	A	20021203		
	DE 2003-10309927	A	20030307		
	US 2002-437438P	P	20021230		
	US 2003-456598P	P	20030321		
	WO 2003-EP13648	W	20031203		

OS MARPAT 141:54352
GI



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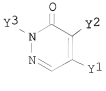

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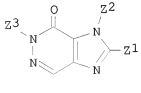


III

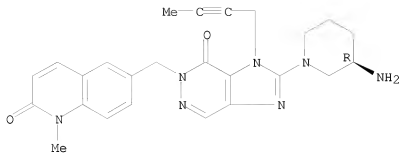
AB The invention relates to substituted imidazo-pyridinones and imidazo-pyridazinones I [R1 = 5- to 7-membered cycloalkylenimino (optionally substituted with C1-3-alkyl), 6- to 7-membered cycloalkylenimino (4-methylene substituted, to 7-membered cycloalkylamino, etc.; R2 = CH2Ph (F-, Cl-, Br-, CN-substituted Ph), (un)branched C3-8-alkenyl, C3-5-alkynyl, C3-7-cycloalkylmethyl, C5-7-cycloalkylmethyl, urylmethyl, thienylmethyl, pyrrolylmethyl, thiazolylmethyl, ; R3 = (un)branched C1-6-alkyl, C1-6-haloalkyl, C1-6-cyanoalkyl, CHMePh, CH2CH(OH)Ph, CH2COPh (optionally substituted Ph), 3-methyl-2-oxo-2,3-dihydrobenzoxazolyl)carbonylmethyl, thienylcarbonylmethyl, mono- or bicyclic heteroaryl-(C1-6-alkyl); R4 = H, C1-3-alkyl; X = N, CR5; R5 = H, Me; etc.], the tautomers thereof, the stereoisomers thereof, the mixts. thereof and the salts thereof, which have valuable pharmacol. properties, especially an inhibitory effect on the activity of the enzyme dipeptidylpeptidase-IV (DPP-IV). Thus, I·HCl [R1 = 3-aminopiperidino, R2 = 2-butynyl, R3 = (1-naphthyl)methyl, R4 = H, X = N] was prepared from 4,5-dichloro-3-hydroxy-2H-pyridazine (II; Y1 = Y2 = Cl, Y3 = H) via N-alkylation with 1-(chloromethyl)naphthalene to give II [Y1 = Y2 = Cl, Y3 = (1-naphthyl)methyl] , hydrolysis-nitration to II [Y1 = OH, Y2 = NO2, Y3 = (1-naphthyl)methyl], amination to give II [Y1 = NH2, Y2 = NO2, Y3 = (1-naphthyl)methyl], reduction to the 4,5-diamino derivative, cyclocondensation with thiocarbonyldiimidazole to give imidazopyridazone III [Z1 = SH, Z2 = H, Z3 = (1-naphthyl)methyl], S-methylation to III [Z1 = SMe, Z2 = H, Z3 = (1-naphthyl)methyl], N-alkylation with BrCH2C.tplbond.CMe to give III [Z1 = SMe, Z2 = CH2C.tplbond.CMe, Z3 = (1-naphthyl)methyl]; S-oxidation to give III [Z1 = SO2Me, Z2 = CH2C.tplbond.CMe, Z3 = (1-naphthyl)methyl],, amination with 3-(Boc-amino)piperidine and deprotection. The inhibitory effect of I [R1 = 3-aminopiperidino, R2 = 2-butynyl, R3 = (1-naphthyl)methyl, R4 = H] on the activity of the enzyme dipeptidylpeptidase-IV (DPP-IV) was tested [IC50 = 13 nM]. Formulations containing I in the forms of dragees, tablets, ampuls, hard-gel capsules, suppositories and suspensions are presented.

IT 705280-21-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of novel substituted imidazopyridinones and imidazopyridazones as inhibitors of dipeptidylpeptidase IV)

RN 705280-21-3 CAPLUS

CN 2(1H)-Quinolinone, 6-[[2-[(3R)-3-amino-1-piperidinyl]-3-(2-butyn-1-yl)-3,4-dihydro-4-oxo-5H-imidazo[4,5-d]pyridazin-5-yl]methyl]-1-methyl- (CA INDEX NAME)

Absolute stereochemistry.

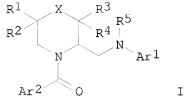


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:267329 CAPLUS
DN 140:303688
TI Preparation of N-aroyl cyclic amines as orexin receptor antagonists
IN Branch, Clive Leslie; Coulton, Steven; Johns, Amanda; Nash, David John; Porter, Roderick Alan; Stemp, Geoffrey
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004026866	A1	20040401	WO 2003-EP10412	20030917
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003262516	A1	20040408	AU 2003-262516	20030917
	EP 1539747	A1	20050615	EP 2003-797310	20030917
	EP 1539747	B1	20061102		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006504695	T	20060209	JP 2004-537127	20030917
	AT 344261	T	20061115	AT 2003-797310	20030917
	ES 2273083	T3	20070501	ES 2003-797310	20030917
	US 20060040937	A1	20060223	US 2005-527833	20050816
PRAI	GB 2002-21690	A	20020918		
	GB 2002-21691	A	20020918		
	WO 2003-EP10412	W	20030917		

OS MARPAT 140:303688
GI



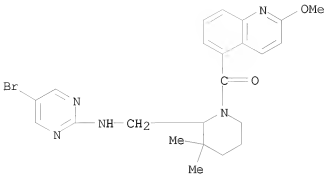
I

AB The title compds. [I; X = O, CR7R8, NH, a bond; R1, R2 are both H, or both are alkyl; or R1 and R2 together with the carbon to which they are attached form cycloalkyl or 4-6 membered heterocyclyl; R3, R4 are both H, or both are alkyl; or R3 and R4 together with the carbon to which they are attached form cycloalkyl or 4-6 membered heterocyclyl; R7, R8 are both H, or both are alkyl; or R7 and R8 together with the carbon to which they are attached form cycloalkyl or 4-6 membered heterocyclyl; R5 = H, alkyl, CO(alkyl); Ar1 = (un)substituted (hetero)aryl; Ar2 = (un)substituted Ph, 5-6 membered heterocyclyl, bicyclic (hetero)aryl; with the provisos], useful for treating or preventing diseases or disorders where an antagonist of a human orexin receptor is required, such as obesity and sleep disorders, were prepared Thus, reacting 5-(4-fluorophenyl)-2-methylthiazole-4-carbonyl chloride with (RS)-(5-bromopyrimidin-2-yl)(3,3-dimethylpiperidin-2-ylmethyl)amine (preparation given) in the presence of Et3N in CH2Cl2 afforded 78% (RS)-I [X = CH2; R1, R2 = H; R3, R4 = Me; R5 = H; Ar1 = 5-bromopyrimidin-2-yl; Ar2 = 5-(4-fluorophenyl)-2-methylthiazol-4-yl]. The exemplified compds. I showed pKb values in the range 7.0 to 9.7 at the human cloned orexin-1 receptor, and pKb values in the range <6.3 to 8.2 at the human cloned orexin-2 receptor. The pharmaceutical composition comprising the compound I is claimed.

IT 676355-15-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-aroyl cyclic amines as orexin receptor antagonists for treating obesity and sleep disorders)

RN 676355-15-0 CAPLUS

CN Methanone, [2-[[(5-bromo-2-pyrimidinyl)amino]methyl]-3,3-dimethyl-1-piperidinyl](2-methoxy-5-quinolinyl)- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:182879 CAPLUS
DN 140:235743
TI Preparation of 8-[3-aminopiperidin-1-yl]xanthines as dipeptidylpeptidase-IV (DPP-IV) inhibitors.
IN Himmelsbach, Frank; Langkopf, Elke; Eckhardt, Matthias; Mark, Michael; Maier, Roland; Lotz, Ralf Richard Hermann; Tadayyon, Mohammad
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004018468	A2	20040304	WO 2003-EP9127	20030818
	WO 2004018468	A3	20040408		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10238243	A1	20040304	DE 2002-10238243	20020821
	DE 10312353	A1	20040930	DE 2003-10312353	20030320
	CA 2496249	A1	20040304	CA 2003-2496249	20030818
	AU 2003253418	A1	20040311	AU 2003-253418	20030818
	EP 1532149	A2	20050525	EP 2003-792359	20030818
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1675212	A	20050928	CN 2003-819760	20030818
	JP 2006503013	T	20060126	JP 2004-530186	20030818
	JP 4233524	B2	20090304		
	BR 2003013648	A	20070508	BR 2003-13648	20030818
	NZ 538975	A	20080328	NZ 2003-538975	20030818

	NO 2005000069	A	20050303	NO 2005-69	20050106
	MX 2005001684	A	20050419	MX 2005-1684	20050211
	IN 2005DN00567	A	20090123	IN 2005-DN567	20050214
	IN 2007DN06108	A	20070817	IN 2007-DN6108	20070806
PRAI	DE 2002-10238243	A	20020821		
	DE 2003-10312353	A	20030320		
	WO 2003-EP9127	W	20030818		
	IN 2005-DN567	A3	20050214		

OS MARPAT 140:235743

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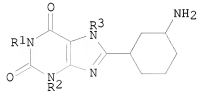


I

AB Title compds. (I; R1 = Me substituted by Me2NCO, pyrrolidin-1-ylcarbonyl, piperidin-1-ylcarbonyl, tert-butylcarbonyl, naphthyl, nitronaphthyl, dimethylaminonaphthyl, phenyloxadiazolyl, quinolinyl, indolyl, cinnolinyl, benzothienyl, etc.; R2 = Me, Me2CH, Ph; R3 = 2-methyl-2-propen-1-yl, 2-chloro-2-propen-1-yl, 3-bromo-2-propen-1-yl, 2-buten-1-yl, 2,3-dimethyl-2-buten-1-yl, 2-butyn-1-yl, 1-cyclopenten-1-ylmethyl, 2-furylmethyl), were prepared Thus, 1,3-dimethyl-7-(2,6-dicyanobenzyl)-8-bromoxanthine (preparation from 8-bromotheophylline and 2-bromomethylisophthalonitrile given), 3-aminopiperidine dihydrochloride, and K2CO3 were heated in DMF for 3 h at 80° to give 14% 1,3-dimethyl-7-(2,6-dicyanobenzyl)-8-(3-aminopiperidin-1-yl)xanthine. I inhibited DPP-IV with IC50 = 1-2160 nM.

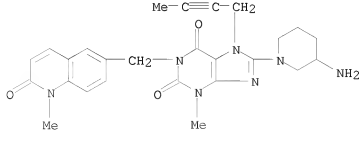
IT 668271-06-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopiperidinylxanthines as dipeptidylpeptidase-IV inhibitors)

RN 668271-06-5 CAPLUS

CN 1H-Purine-2,6-dione, 8-(3-amino-1-piperidinyl)-7-(2-butyn-1-yl)-1-[(1,2-dihydro-1-methyl-2-oxo-6-quinolinyl)methyl]-3,7-dihydro-3-methyl- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:796538 CAPLUS
DN 139:323440
TI Preparation of radiolabeled quinolines and quinolinones as metabotropic glutamate receptor mGluR1 antagonists for use in positron emission tomography.
IN Lesage, Anne Simone Josephine; Bischoff, Francois Paul; Janssen, Cornelus Gerardus Maria; Lavreysen, Hilde
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082350	A2	20031009	WO 2003-EP3240	20030326
	WO 2003082350	A3	20040304		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2479109	A1	20031009	CA 2003-2479109	20030326
	AU 2003226737	A1	20031013	AU 2003-226737	20030326
	AU 2003226737	B2	20080904		
	BR 2003008945	A	20050104	BR 2003-8945	20030326
	EP 1492571	A2	20050105	EP 2003-745282	20030326
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1642580	A	20050720	CN 2003-807387	20030326
	JP 2005524679	T	20050818	JP 2003-579882	20030326
	NZ 535438	A	20060831	NZ 2003-535438	20030326
	IN 2004DN02631	A	20050401	IN 2004-DN2631	20040908
	US 20060083676	A1	20060420	US 2004-509069	20040924
	MX 2004009435	A	20050125	MX 2004-9435	20040928
	ZA 2004007820	A	20051011	ZA 2004-7820	20040928
	NO 2004004635	A	20041027	NO 2004-4635	20041027
PRAI	EP 2002-76254	A	20020329		
	WO 2003-EP3240	W	20030326		

OS MARPAT 139:323440
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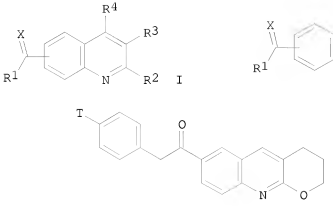


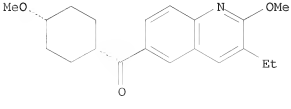
AB Radiolabeled title compds. [I, II; X = O, S, C(R6)2, NR7; Y = O, S; R1 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, thienyl, quinolinyl, etc.; R2 = H, halo, cyano, alkyl, amino, heterocyclyl, etc.; R3, R4 = H, halo, OH, cyano, alkyl, alkoxy, etc.; R2R3 = (CH2)3-6, Z4CH2CH2CH2, Z4CH2CH2, etc.; Z4 = O, S, SO2, NR11; R11 = H, alkyl, PhCH2, alkoxycarbonyl; R3R4 = (CH2)4, CH:CHCH:CH; R5 = H, cycloalkyl, piperidinyl, oxothienyl, tetrahydrothienyl, aralkyl, alkoxyalkyl, etc.; R6 = H, aryl, alkyl, aminoalkyl; R7 = amino, OH], were prepared Most preferred are radiolabeled compds. in which the radioactive isotope is selected from 3H, 11C and 18F. The invention also relates to their use in a diagnostic method, in particular for marking and identifying a mGluR1 receptor in biol. material, as well as to their use for imaging an organ, in particular using positron emission tomog. (PET). Thus, title compound (III) was prepared by tritiation of the corresponding bromide in THF using tritium gas and Pd/C catalyst. The purified product showed specific activity of 25 Ci/mmol.

IT 409340-66-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of radiolabeled quinolines and quinolinones as metabotropic glutamate receptor mGluR1 antagonists for use in positron emission tomog.)

RN 409340-66-5 CAPLUS

CN Methanone, (3-ethyl-2-methoxy-6-quinolinyl)(cis-4-methoxycyclohexyl)- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 26 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:633706 CAPLUS
DN 139:180057
TI Preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurological disorders and apoptosis
IN Norman, Mark; Wang, Hui-ling; Rzasa, Robert; Zhong, Wenge; Nguyen, Thomas; Kaller, Matthew
PA Amgen Inc., USA
SO PCT Int. Appl., 490 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066630	A2	20030814	WO 2003-US3762	20030207
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 6822097	B1	20041123	US 2003-360226	20030206
	CA 2475637	A1	20030814	CA 2003-2475637	20030207
	AU 2003209058	A1	20030902	AU 2003-209058	20030207
	EP 1478645	A2	20041124	EP 2003-707786	20030207
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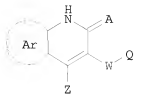
	JP 2005526029	T	20050902	JP 2003-566003	20030207
	MX 2004007661	A	20041206	MX 2004-7661	20040806
	US 20070032518	A1	20070208	US 2004-936538	20040909
PRAI	US 2002-355313P	P	20020207		
	US 2003-360226	A1	20030206		
	WO 2003-US3762	W	20030207		

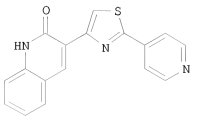
OS MARPAT 139:180057
GI



I

II

III



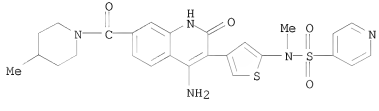
IV

AB The title compds. [I; Ar = II or III; A = O, S, NH; D = CR1, N; E = CR2, N; F = CR3, N; G = CR4, N; J = NR6, S, O, CR1; K = NR6, S, O, CR2; L = NR6, S, O, CR3; Q = OH, (un)substituted NH, aryl, etc.; W = (un)substituted monocyclic (non)aromatic heterocyclic ring; Z = H, (un)substituted NH2, SH, OH, etc.; R1-R4 = H, halo, aryl, etc.; R6 = H, alkyl, a lone pair electrons] and their pharmaceutically acceptable salts, useful for prophylaxis and treatment of diseases and other maladies or conditions involving stroke, cancer and the like, were prepared E.g., a 4-step synthesis of IV (starting from thioisonicotinamide and Me 4-chloroacetoacetate) which showed IC50 of < 1 μM against cdk2/cyclin kinase and against cdk5/p25, was given. A pharmaceutical composition comprising compound I was claimed.

IT 1070028-87-3
RL: PRPH (Prophetic)
(Preparation of thiazolyl substituted quinolinones for treating cell proliferative disorders, neurological disorders and apoptosis)

RN 1070028-87-3 CAPLUS

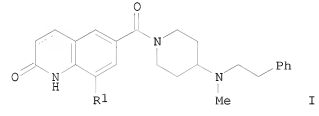
CN 4-Pyridinesulfonamide, N-[4-[4-amino-1,2-dihydro-7-[(4-methyl-1-piperidinyl)carbonyl]-2-oxo-3-quinolinyl]-2-thienyl]-N-methyl- (CA INDEX NAME)



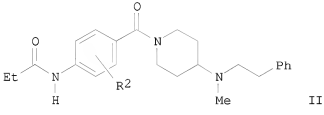
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:410900 CAPLUS

DN 139:133449
TI Novel Selective Hindlimb Vasodilators: Synthesis and Biological Activity of 1-Acyl-4-aminopiperidine Derivatives
AU Teramoto, Shuji; Tanaka, Michinori; Shimizu, Hiroshi; Fujioka, Takafumi; Tabusa, Fujio; Imaizumi, Takashi; Yoshida, Kenji; Fujiki, Hiroyuki; Mori, Toyoki; Sumida, Takumi; Tominaga, Michiaki
CS Medicinal Chemistry Research Institute, Tokushima Research Institute, Research Institute of Pharmacological & Therapeutical Development, Fujii Memorial Research Institute and Second Tokushima Factory, Otsuka Pharmaceutical Co. Ltd., Tokushima, 771-0192, Japan
SO Journal of Medicinal Chemistry (2003), 46(14), 3033-3044
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:133449
GI



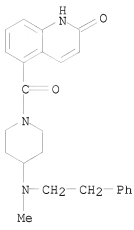
I



II

AB A series of 6-(4-amino-1-piperidinyl)carbonyl-2(1H)-quinolinones, e.g. I (R1 = H, Me, Et, Pr, Me2CH, MeO, O2N), and their open form derivs. II (R2 = H, 2-Me, 3-MeO, 3-Cl, 3,5-Me2, etc.) were synthesized and evaluated for their ability to stimulate femoral artery blood flow (FBF) in the canine hindlimb. All members of this series stimulated FBF, and subsequent expts. revealed that selected members of this series produced minimal changes in coronary blood flow or systemic blood pressure. II (R2 = 3,5-Me2) was the most promising agent in this respect, and clin. trials are now ongoing to evaluate the effectiveness of this drug as a novel treatment for intermittent claudication and Raynaud's phenomenon.
IT 165591-82-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (piperidinocarbonyl)quinolinones and (aminoaroyl)(phenethylamino)piperidines as selective hindlimb vasodilators)
RN 165591-82-2 CAPLUS

CN 2(1H)-Quinolinone, 5-[[4-[methyl(2-phenylethyl)amino]-1-piperidinyl]carbonyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

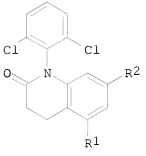
L6 ANSWER 28 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:574925 CAPLUS
DN 137:140442
TI Preparation of 1,5-diaryl-7-heterocyclyl(alkyl)-2-quinolinones as p38 protein kinase inhibitors
IN Doherty, James B.; Stelmach, John E.; Chen, Meng-Hsin; Liu, Luping; Hunt, Julianne A.; Ruzek, Rowena D.; Goulet, Joung L.; Wisnoski, David D.; Natarajan, Swaminathan Ravi; Rupprecht, Kathleen M.; Bao, Jianming; Miao, Shouwu; Hong, Xingfang
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 440 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002058695	A1	20020801	WO 2001-US48676	20011214
	WO 2002058695	A9	20030912		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,				

GN, GQ, GW, ML, MR, NE, SN, TD, TG

	CA 2431904	A1	20020801	CA 2001-2431904	20011214
	AU 2002246677	A1	20020806	AU 2002-246677	20011214
	AU 2002246677	B2	20061116		
	EP 1345603	A1	20030924	EP 2001-994260	20011214
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004521892	T	20040722	JP 2002-559029	20011214
	US 20030092712	A1	20030515	US 2001-23231	20011217
	US 6809199	B2	20041026		
PRAI	US 2000-256822P	P	20001220		
	WO 2001-US48676	W	20011214		
OS	MARPAT 137:140442				

GI



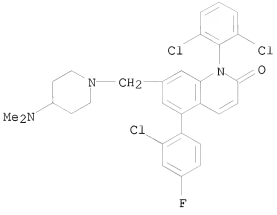
I

AB Title compds. were prepared Thus, 2,6-dibromo-4-methoxytoluene was converted in 5 steps to arylquinolinone I (R1 = Br, R2 = OMe) which was condensed with 2,4-F2C6H3B(OH)2 and the O-demethylated product converted in 4 steps to I (R1 = C6H3F2-2,4, R2 = 4-piperidinyl). Data for biol. activity of title compds. were given.

IT 444662-75-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,5-diaryl-7-heterocyclyl(alkyl)-2-quinolinones as p38 protein kinase inhibitors)

RN 444662-75-3 CAPLUS

CN 2(1H)-Quinolinone, 5-(2-chloro-4-fluorophenyl)-1-(2,6-dichlorophenyl)-7-[[4-(dimethylamino)-1-piperidinyl]methyl]- (CA INDEX NAME)

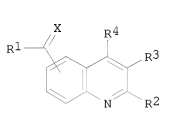


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:275968 CAPLUS
DN 136:309857
TI Preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists
IN Mabire, Dominique Jean-Pierre; Venet, Marc Gaston; Coupa, Sophie; Poncelet, Alain Philippe; Lesage, Anne Simone Josephine
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002028837	A1	20020411	WO 2001-EP11135	20010925
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2421782	A1	20020411	CA 2001-2421782	20010925
	AU 2001093847	A	20020415	AU 2001-93847	20010925
	BR 2001014253	A	20030701	BR 2001-14253	20010925
	EP 1332133	A1	20030806	EP 2001-974298	20010925
	EP 1332133	B1	20080709		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 2003002167	A2	20031028	HU 2003-2167	20010925
	JP 2004510764	T	20040408	JP 2002-532423	20010925
	NZ 524945	A	20050128	NZ 2001-524945	20010925
	EE 200300126	A	20050415	EE 2003-126	20010925

	CN 1703403	A	20051130	CN 2001-816717	20010925
	AU 2001293847	B2	20070524	AU 2001-293847	20010925
	AT 400558	T	20080715	AT 2001-974298	20010925
	ES 2309095	T3	20081216	ES 2001-974298	20010925
	KR 818965	B1	20080404	KR 2003-702014	20030211
	HR 2003000229	A1	20030630	HR 2003-229	20030324
	IN 2003MN00328	A	20050211	IN 2003-MN328	20030324
	BG 107672	A	20040130	BG 2003-107672	20030326
	ZA 2003002515	A	20040630	ZA 2003-2515	20030331
	NO 2003001474	A	20030505	NO 2003-1474	20030401
	NO 325079	B1	20080128		
	MX 2003002907	A	20030624	MX 2003-2907	20030401
	US 20040082592	A1	20040429	US 2003-381987	20030814
	US 7115630	B2	20061003		
	US 20050209273	A1	20050922	US 2005-133678	20050520
PRAI	EP 2000-203419	A	20001002		
	WO 2001-EP11135	W	20010925		
	US 2003-381987	A3	20030814		
OS	MARPAT 136:309857				
GI					



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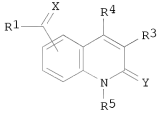
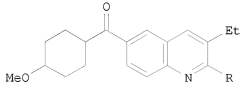


II



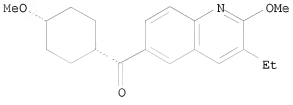
III

AB The title compds. [I or II; X = O, C(R6)2; (wherein R6 = H, aryl, alkyl, etc.); R1 = alkyl, aryl, thienyl, etc.; R2 = H, halo, CN, etc.; R3, R4 = H, alkyl; or R2 and R3 may be taken together to form (CH2)3, (CH2)4, CH:CHCH:CH, etc.; or R3 and R4 may be taken together to form CH:CHCH:CH, (CH2)4; R5 = H, cycloalkyl, piperidinyl, etc.; Y = O, S; or Y and R5 may be taken together to form CH:NN, N:NN, NCH:CH], useful for treating or preventing glutamate-induced diseases of the central nervous system, were prepared Thus, reacting cis-III [R = Cl] with SnMe4 in the presence of Pg(PPh3)4 in PhMe afforded 17% cis-III [R = Me] which showed antagonism at a dose of 2.5 mg/kg bodyweight in cold allodynia test in rats with a Bennett ligation.

IT 409340-66-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists)
RN 409340-66-5 CAPLUS
CN Methanone, (3-ethyl-2-methoxy-6-quinolinyl)(cis-4-methoxycyclohexyl)- (CA INDEX NAME)

Relative stereochemistry.

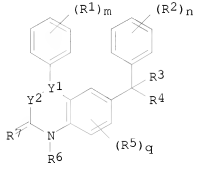


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:240760 CAPLUS
DN 136:279470
TI Preparation of 6-[(substituted phenyl)methyl]quinoline and quinazoline derivatives as farnesyl transferase inhibitors for treatment of tumors and proliferative diseases
IN Angibaud, Patrick Rene; Venet, Marc Gaston; Saha, Ashis Kumar; Mevellec, Laurence Anne
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002024683	A1	20020328	WO 2001-EP10895	20010918
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001093829	A	20020402	AU 2001-93829	20010918
	EP 1322636	A1	20030702	EP 2001-974276	20010918
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004509884	T	20040402	JP 2002-529093	20010918
	US 20040048882	A1	20040311	US 2003-381556	20030324
	US 7173040	B2	20070206		
PRAI	EP 2000-203366	A	20000925		
	WO 2001-EP10895	W	20010918		

OS MARPAT 136:279470
GI



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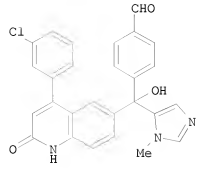
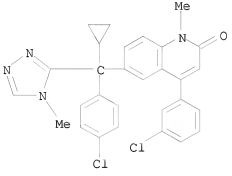


II

AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2 = independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N;NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N: ; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepared For example, 6-bromo-2-chloro-4-(3-chlorophenyl)quinoline (6-step preparation given) was coupled with 4-(diethoxymethyl)benzaldehyde in the presence of BuLi in THF to give the 6-quinolinemethanol (64%), which was treated with MnO2 in 1,4-dioxane to afford the methanone. Methoxylation using MeONa in MeOH (74%), followed by addition of 1-methyl-1H-imidazole in the presence of BULi and ClSiEt3 in THF, gave 4-(3-chlorophenyl)-α-[4-(diethoxymethyl)phenyl]-2-methoxy-α-(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (56%). The latter was refluxed in HCl for 24 h, cooled, poured out into H2O, and stirred at room temperature for 1 h to afford the quinolinone II•HCl (98%). I have potent farnesyl transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

IT 406163-50-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(farnesyl transferase inhibitor; preparation of quinoline and quinazoline derivs. as farnesyl transferase inhibitors for treatment of tumors and

proliferative diseases)
RN 406163-50-6 CAPLUS
CN 2(1H)-Quinolinone, 4-(3-chlorophenyl)-6-[(4-chlorophenyl)cyclopropyl(4-methyl-4H-1,2,4-triazol-3-yl)methyl]-1-methyl- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:630893 CAPLUS
DN 135:195505
TI Preparation of azaheterocyclic sulfonamides as factor Xa inhibitors
IN Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PA Aventis Pharma Deutschland GmbH, Germany
SO U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 90,492.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6281227	B1	20010828	US 1999-453307	19991202
	WO 9825611	A1	19980618	WO 1997-US22406	19971203
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6602864	B1	20030805	US 1998-90492	19980603
	WO 9962904	A1	19991209	WO 1999-US12312	19990603
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
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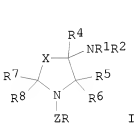
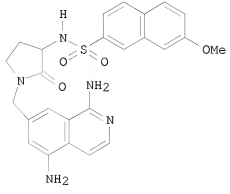
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
WO 2001039759 A2 20010607 WO 2000-EP11577 20001121
WO 2001039759 A3 20020117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 20020013310 A1 20020131 US 2001-918039 20010730
PRAI US 1996-33159P P 19961213
WO 1997-US22406 A2 19971203
US 1998-90492 A2 19980603
WO 1999-US12312 A2 19990603
US 1999-453307 A 19991202
OS MARPAT 135:195505
GI



I



II

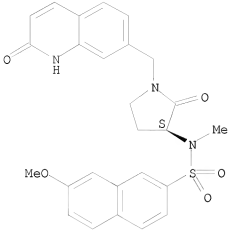
AB Title compds. [I; X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H, (un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared Thus, title compound II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.

IT 209285-34-7P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of azaheterocyclic sulfonamides as inhibitors of factor Xa)

RN 209285-34-7 CAPLUS

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[(1,2-dihydro-2-oxo-7-quinolinyl)methyl]-2-oxo-3-pyrrolidinyl]-7-methoxy-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

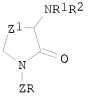


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:416755 CAPLUS
DN 135:46082
TI Preparation of N-(oxopyrrolidinyl)naphthalenesulfonamides and analogs as factor Xa inhibitors
IN Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PA Aventis Pharma Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001039759	A2	20010607	WO 2000-EP11577	20001121
	WO 2001039759	A3	20020117		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6281227	B1	20010828	US 1999-453307	19991202
PRAI	US 1999-453307	A	19991202		
	US 1996-33159P	P	19961213		
	WO 1997-US22406	A2	19971203		
	US 1998-90492	A2	19980603		
	WO 1999-US12312	A2	19990603		

OS MARPAT 135:46082
GI



I

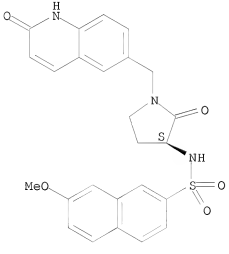
AB Title compds. [(un)substituted I; R = N-containing heteroaryl; R1 = H, (acyl)alkyl, (hetero)arylalkyl, etc.; R2 = H, (hetero)arylalkyl, carbamoylalkyl, etc.; Z = (NH- or NHCO-interrupted or -terminated) alkylene; Z1 = (CH2)0-3] were prepared Thus, I (R1 = H, Z1 = CH2)(II; R = H, R2 = CO2cMe3, Z = bond) was N-alkylated by 7-bromomethyl-1-chloroisoquinoline (preparation each given) and the deprotected product N-acylated by 7-methoxynaphthalene-2-sulfonyl chloride (preparation given) to give, in 2 addnl. steps, II (R = 1-amino-7-isoquinolyl, R2 = 7-methoxynaphthalene-2-sulfonyl, Z = CH2). Data for biol. activity of I were given.

IT 209285-41-6P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of N-(oxopyrrolidinyl)naphthalenesulfonamides and analogs as factor Xa inhibitors)

RN 209285-41-6 CAPLUS

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[(1,2-dihydro-2-oxo-6-quinolinyl)methyl]-2-oxo-3-pyrrolidinyl]-7-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:78383 CAPLUS
DN 134:163059

TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors

IN Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.

PA Aventis Pharmaceuticals Products Inc., USA

SO PCT Int. Appl., 460 pp.
CODEN: PIXXD2

DT Patent

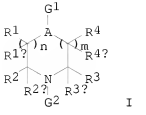
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007436	A2	20010201	WO 2000-IB1156	20000726
	WO 2001007436	A3	20010823		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	CA 2382755	A1	20010201	CA 2000-2382755	20000726
	BR 2000013179	A	20020402	BR 2000-13179	20000726
	EP 1208097	A2	20020529	EP 2000-951781	20000726
	EP 1208097	B1	20090218		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	TR 200200225	T2	20020621	TR 2002-225	20000726
	HU 2002003375	A2	20021228	HU 2002-3375	20000726
	HU 2002003375	A3	20050329		
	JP 2003508353	T	20030304	JP 2001-512520	20000726
	EE 200200045	A	20030616	EE 2002-45	20000726
	AU 773227	B2	20040520	AU 2000-64628	20000726
	IL 147495	A	20070724	IL 2000-147495	20000726
	NO 2002000214	A	20020402	NO 2002-214	20020115
	BG 106340	A	20021031	BG 2002-106340	20020122
	ZA 2002000543	A	20030623	ZA 2002-543	20020122
	MX 2002000888	A	20020730	MX 2002-888	20020125
PRAI	US 1999-363196	A	19990728		
	WO 2000-IB1156	W	20000726		

OS MARPAT 134:163059

GI



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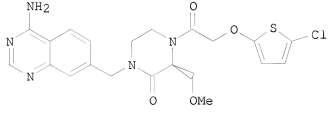


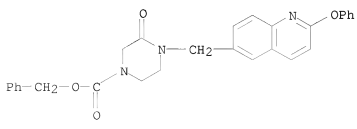
II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH or N; G1 and G2 = L1Cy1 or L2Cy2; Cy1 and Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.; L1 = null, O, S, SO, SO2, or (un)substituted sulfamoyl, methylene, (alkyl)keto(alkyl), carbamoyl, etc.; L2 = null or linking group; R1, R1a, R2, R2a, R3, R3a, R4, R4a = independently H, carboxy, alkoxycarbonyl, alkyl, (hetero)aryl, aralkyl, heteroarylalkyl, etc.; m and n = independently 0-2]. The compds. inhibit factor Xa (no data) and factor IIa, and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 1600 invention compds. and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave II.

IT 234108-37-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

RN 234108-37-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 3-oxo-4-[(2-phenoxy-6-quinolinyl)methyl]-, phenylmethyl ester (CA INDEX NAME)

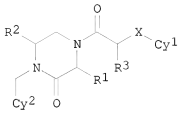


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2000:384179 CAPLUS
DN 133:30741
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
IN Ewing, William R.; Becker, Michael R.; Myers, Michael R.; Spada, Alfred P.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032590	A1	20000608	WO 1999-US28074	19991124
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	WO 9937304	A1	19990729	WO 1999-US1682	19990127
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	JP 2003529531	T	20031007	JP 2000-585232	19991124
PRAI	US 1998-110012P	A2	19981125		
	WO 1999-US1682	A2	19990127		
	US 1999-313611	A2	19990518		
	US 1999-363196	A2	19990728		
	US 1998-72707P	A2	19980127		
	WO 1999-US28074	W	19991124		

OS MARPAT 133:30741
GI



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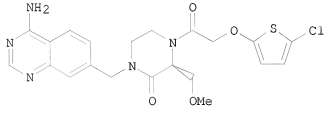


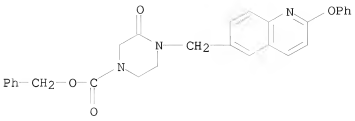
II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein R1 = H, alkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, alkoxy, aminoalkyl, CH2OZ, CH(CH3)OZ; R2 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; R3 = H or Me; X = N or O; Z = lower alkyl or alkoxycarbonylalkyl; Cy1 = (un)substituted aryl, (un)substituted heteroaryl; Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 invention compds., approx. 50 of which are also claimed, and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave the preferred title compound II.

IT 234108-37-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

RN 234108-37-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 3-oxo-4-[(2-phenoxy-6-quinolinyl)methyl]-, phenylmethyl ester (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2000:161276 CAPLUS
DN 132:194299
TI Preparation of quinolin-2-ones as anticancer agents
IN Lyssikatos, Joseph Peter; La Greca, Susan Deborah; Yang, Bingwei Vera
PA Pfizer Products Inc., USA; La Greca, Susan Deborah
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012498	A1	20000309	WO 1999-IB1393	19990805
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2341739	C	20000309	CA 1999-2341739	19990805
	CA 2341739	A1	20000309		
	AU 9949251	A	20000321	AU 1999-49251	19990805
	BR 9913315	A	20010522	BR 1999-13315	19990805
	EP 1107962	A1	20010620	EP 1999-933080	19990805
	EP 1107962	B1	20050223		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002523503	T	20020730	JP 2000-567526	19990805
	JP 3494409	B2	20040209		
	AT 289602	T	20050315	AT 1999-933080	19990805
	ES 2237125	T3	20050716	ES 1999-933080	19990805
	US 6495564	B1	20021217	US 1999-384339	19990826
	MX 2001002067	A	20000821	MX 2001-2067	20010226
PRAI	US 1998-98136P	P	19980827		
	WO 1999-IB1393	W	19990805		

OS MARPAT 132:194299
GI

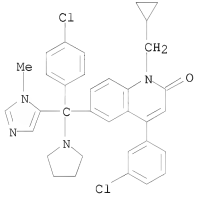
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, alkyl, etc.; R2 = halo, CN, etc.; R3-R7 = H, alkyl, alkenyl, etc.; R8 = H, OR12, -NR12R13, etc.; R9 = (CR13R14)t(imidazolyl) (wherein t = 0-5 and said imidazolyl moiety is optionally substituted by 1-2 R6 substituents); R10, R11 = R6; R12 = H, alkyl, alkenyl, etc.; R13, R14 = H, alkyl and where R13 and R14 are as (CR13R14)q or (CR13R14)t each is independently defined for each iteration of q or t in excess of 1], useful in the treatment of hyperproliferative disorders, such as cancer (no data), were prepared E.g., preparation of quinolin-2-one II , was given. Compds. I are effective at 0.01-10 mg/kg/day.

IT 260052-42-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolin-2-ones as anticancer agents)

RN 260052-42-4 CAPLUS

CN 2(1H)-Quinolinone, 4-(3-chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)-1-pyrrolidinylmethyl]-1-(cyclopropylmethyl)- (CA INDEX NAME)

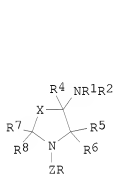


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

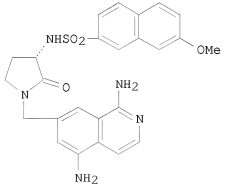
L6 ANSWER 36 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:784099 CAPLUS
DN 132:22881
TI Sulfonic acid or sulfonylamino N-(heteroaralkyl)azaheterocyclic amides as inhibitors of factor Xa
IN Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SO PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9962904	A1	19991209	WO 1999-US12312	19990603
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6602864	B1	20030805	US 1998-90492	19980603
	CA 2333994	A1	19991209	CA 1999-2333994	19990603
	AU 9943298	A	19991220	AU 1999-43298	19990603
	AU 758642	B2	20030327		
	EP 1086099	A1	20010328	EP 1999-955266	19990603
	EP 1086099	B1	20050928		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
	BR 9910899	A	20011009	BR 1999-10899	19990603
	JP 2002517393	T	20020618	JP 2000-552115	19990603
	AT 305469	T	20051015	AT 1999-955266	19990603
	ES 2246582	T3	20060216	ES 1999-955266	19990603
	US 6281227	B1	20010828	US 1999-453307	19991202
	NO 2000005912	A	20010131	NO 2000-5912	20001122
	MX 2000011884	A	20020225	MX 2000-11884	20001130
	US 20020013310	A1	20020131	US 2001-918039	20010730
PRAI	US 1998-90492	A2	19980603		
	US 1996-33159P	P	19961213		
	WO 1997-US22406	A2	19971203		
	WO 1999-US12312	W	19990603		
	US 1999-453307	A3	19991202		
OS	MARPAT 132:22881				

GI



I



II

AB Aza heterocycles I [X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H, (un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared I are

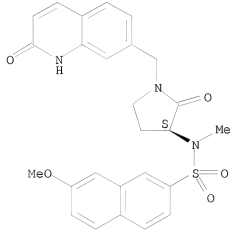
inhibitors of the activity of Factor Xa. Thus, the amide II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.

IT 209285-34-7P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of azaheterocyclic sulfonamides as inhibitors of factor Xa)

RN 209285-34-7 CAPLUS

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[(1,2-dihydro-2-oxo-7-quinolinyl)methyl]-2-oxo-3-pyrrolidinyl]-7-methoxy-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1999:684278 CAPLUS

DN 131:286541

TI Bicyclic heterocyclic compounds for use as thrombin inhibitors

IN Ries, Uwe; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang

PA Boehringer Ingelheim Pharma K.-G., Germany

SO Ger. Offen., 62 pp.
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

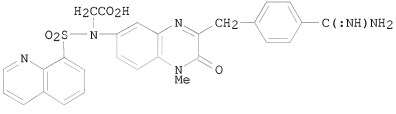
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19816983	A1	19991021	DE 1998-19816983	19980417
US 6200976	B1	20010313	US 1999-280248	19990329
CA 2323606	A1	19991028	CA 1999-2323606	19990413
WO 9954313	A1	19991028	WO 1999-EP2464	19990413

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,

TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU	9940303	A	19991108	AU 1999-40303		19990413
EP	1071669	A1	20010131	EP 1999-923410		19990413
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP	2002512234	T	20020423	JP 2000-544652		19990413
MX	2000009247	A	20010405	MX 2000-9247		20000921
PRAI DE	1998-19816983	A	19980417			
US	1998-88175P	P	19980605			
WO	1999-EP2464	W	19990413			

OS MARPAT 131:286541
GI



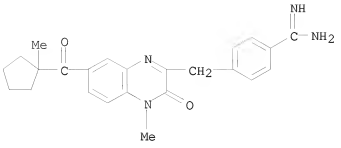
I

AB Heterocyclic compds. R-Het-A-Ar-R1 [A = O, S, CF2, CO, SO, SO2, NR2 (R2 = H, alkyl), carboxyalkyl, alkoxycarbonylalkyl; Ar = phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrimidinylene, pyrazinylene, pyridazinylene which may be further substituted; Het = 1-alkyl-2-oxo-1,2-dihydrothieno[2,3-b]pyrazinylene, quinolinylene, isoquinolinylene, quinazolinylene, phthalazinylene, cinnolinylene, quinoxalinylene which may be further substituted or partially hydrated; R = H, F, Cl, Br, NO2, (un)substituted aliphatic, NH2, NHOH, Ph, tetrazolyl, imidazolyl, SO2Ph, cycloalkyl, cycloalkenyl; R1 = CN, (un)substituted amindino] were prepared for use as thrombin inhibitors. Thus, the benzamidine I increased the aPTT time by 200% at 0.950 μM.

IT 246541-00-4P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic heterocyclic compds. for use as thrombin inhibitors)

RN 246541-00-4 CAPLUS

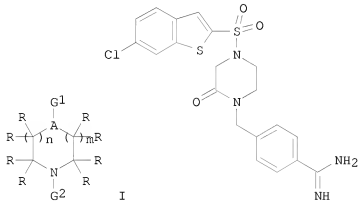
CN Benzenecarboximidamide, 4-[[3,4-dihydro-4-methyl-7-[(1-methylcyclopentyl)carbonyl]-3-oxo-2-quinoxalinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



L6 ANSWER 38 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:487215 CAPLUS
DN 131:130007
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
IN Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SO PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9937304	A1	19990729	WO 1999-US1682	19990127
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	ZA 9900607	A	19990727	ZA 1999-607	19990127
	CA 2319198	A1	19990729	CA 1999-2319198	19990127
	AU 9926533	A	19990809	AU 1999-26533	19990127
	AU 745425	B2	20020321		
	BR 9907300	A	20001024	BR 1999-7300	19990127
	EP 1051176	A1	20001115	EP 1999-906684	19990127
	EP 1051176	B1	20061122		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200002182	T2	20001221	TR 2000-2182	19990127
	JP 2002501024	T	20020115	JP 2000-528286	19990127
	EE 200000435	A	20020215	EE 2000-435	19990127
	HU 2001001810	A2	20020429	HU 2001-1810	19990127

	HU 2001001810	A3	20020528			
	IL 137517	A	20061210	IL 1999-137517		19990127
	AT 346050	T	20061215	AT 1999-906684		19990127
	WO 2000032590	A1	20000608	WO 1999-US28074		19991124
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW					
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	JP 2003529531	T	20031007	JP 2000-585232		19991124
	NO 2000003808	A	20000926	NO 2000-3808		20000725
	BG 104633	A	20010330	BG 2000-104633		20000725
	US 20040102450	A1	20040527	US 2003-628093		20030725
PRAI	US 1998-72707P	A2	19980127			
	US 1998-110012P	A2	19981125			
	WO 1999-US1682	W	19990127			
	US 1999-313611	A2	19990518			
	US 1999-363196	A2	19990728			
	WO 1999-US28074	W	19991124			
OS	MARPAT 131:130007					
GI						



I II

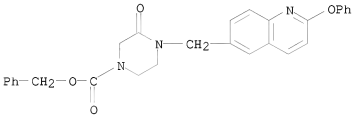
AB The invention is directed to oxoazaheterocyclyl compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various atomic and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of

inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzo[b]thiophene-2-sulfonyl chloride with 4-(2-oxopiperazin-1-ylmethyl)benzamidine bistrifluoroacetate (prepns. given) in CH2Cl2 in the presence of Et3N gave title compound II.

IT 234108-37-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

RN 234108-37-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 3-oxo-4-[(2-phenoxy-6-quinolinyl)methyl]-, phenylmethyl ester (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 39 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1999:184245 CAPLUS

DN 130:223301

TI Preparation of 6,7-asymmetrically disubstituted quinoxalinecarboxylic acid derivatives and addition salts thereof as selective antagonists of AMPA receptor

IN Takano, Yasuo; Shiga, Futoshi; Takadoi, Masanori; Uchiki, Hideharu; Asano, Jun; Anraku, Tsuyoshi; Fukuchi, Kazunori; Uda, Junichiro; Ando, Naoki

PA Kyorin Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 293 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

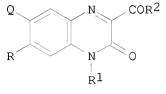
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9911632	A1	19990311	WO 1998-JP3832	19980828
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000080085	A	20000321	JP 1998-291295	19980826
CA 2302161	A1	19990311	CA 1998-2302161	19980828
AU 9888864	A	19990322	AU 1998-88864	19980828
AU 744540	B2	20020228		

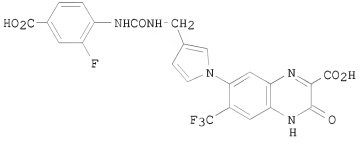
	EP 1020453	A1	20000719	EP 1998-940594	19980828
	EP 1020453	B1	20040519		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9811739	A	20000919	BR 1998-11739	19980828
	HU 2000002853	A2	20010528	HU 2000-2853	19980828
	HU 2000002853	A3	20011228		
	AT 267176	T	20040615	AT 1998-940594	19980828
	CN 1161344	C	20040811	CN 1998-808764	19980828
	NO 2000001046	A	20000502	NO 2000-1046	20000301
	NO 315272	B1	20030811		
	MX 2000002171	A	20010629	MX 2000-2171	20000301
	US 6348461	B1	20020219	US 2000-485716	20000301
PRAI	JP 1997-251313	A	19970901		
	JP 1998-190108	A	19980706		
	JP 1998-190109	A	19980706		
	WO 1998-JP3832	W	19980828		

OS MARPAT 130:223301

GI



I



II

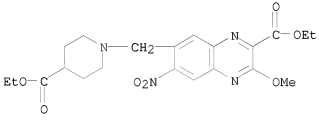
AB Claimed and prepared are the disubstituted quinoxalinecarboxylic acid derivs. represented by formula [I; wherein Q is halogeno, optionally halogenated lower alkyl, Ar-P- (wherein Ar is Ph optionally substituted with one or more substituting groups, or naphthyl; and P is lower alkylene, lower alkenylene, lower alkynylene, oxygen or sulfur), etc.; R is nitro, trifluoromethyl, optionally substituted amino or a group of general formula NS(O)nNR10R11 (wherein R10 and R11 represent H, optionally halo-substituted alkyl, cycloalkyl, aralkyl, Ph, or optionally fused heterocycly1; or NR10R11 forms a ring optionally containing 1 or 2 heteroatoms; n is 1 or 2); R1 is aralkyl, Ph, naphthyl, a 5- or 6-membered heterocycle or a fused ring thereof (which may have one or more substituting groups on the aromatic ring or the heterocycle), hydrogen, optionally halogenated lower alkyl or cycloalkyl; and R2 is hydroxyl, lower alkoxy or a group of general formula NR8R9 (wherein R8 and R9 are

aralkyl, Ph, optionally fused heterocyclyl, H, optionally halo-substituted alkyl, or cycloalkyl; or NR8R9 forms a ring optionally containing 1 or 2 heteroatoms)]. Also claimed are antagonists of excitatory amino acid receptors comprising as the active ingredient 6,7-asym. disubstituted quinoxalinecarboxylic acid derivs. or addition salts thereof, particularly compds. exhibiting antagonism against AMPA receptors (non-NMDA receptor); and processes for the preparation of both. They are useful for the treatment of brain nerve cell disorders related to nerve cell death, so called excitotoxicity caused by excessive excitation of glutamic acid receptors. Thus, addition reaction of Et 7-(3-(aminomethyl)pyrrol-1-yl)-3-oxo-1,2,3,4-tetrahydro-6-(trifluoromethyl)quinoxaline-2-carboxylate hydrochloride with Et 3-fluoro-4-isocyanatobenzoate followed by 2,3-dichloro-5,6-dicyanoquinone oxidation and saponification gave the title compound (II). II in vitro showed the binding affinity to a synaptosome preparation from rat cerebral cortex with Ki of 11.8 nM.

IT 221164-97-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of asym. disubstituted quinoxalinecarboxylic acid derivs. as selective antagonists of AMPA receptor for treatment of brain nerve cell disorders)

RN 221164-97-2 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 7-[[4-(ethoxycarbonyl)-1-piperidinyl]methyl]-3-methoxy-6-nitro-, ethyl ester (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 40 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1999:139831 CAPLUS
DN 130:182369
TI Preparation of carbostyril derivatives for inhibiting skin erythema and/or skin pigmentation.
IN Oshiro, Yasuo; Nishi, Takao; Kuwahara, Keiichi; Watanabe, Kozo
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9909011	A1	19990225	WO 1998-JP3657	19980818
	W: AU, BR, CA, CN, ID, KR, MX, SG, US				

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

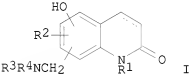
IN	1998CA01253	A	20050311	IN 1998-CA1253	19980717
TW	436483	B	20010528	TW 1998-87112066	19980723
EG	24161	A	20080820	EG 1998-942	19980813
JP	11124366	A	19990511	JP 1998-230407	19980817
CA	2297439	A1	19990225	CA 1998-2297439	19980818
CA	2297439	C	20061219		
AU	9886500	A	19990308	AU 1998-86500	19980818
AU	725464	B2	20001012		
EP	1005458	A1	20000607	EP 1998-937851	19980818
EP	1005458	B1	20041013		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

BR	9811307	A	20000829	BR 1998-11307	19980818
CN	1141298	C	20040310	CN 1998-808277	19980818
AT	279395	T	20041015	AT 1998-937851	19980818
PT	1005458	T	20050131	PT 1998-937851	19980818
ES	2232001	T3	20050516	ES 1998-937851	19980818
US	6133264	A	20001017	US 2000-485454	20000210
MX	2000001440	A	20001230	MX 2000-1440	20000210
HK	1029346	A1	20040820	HK 2001-100255	20010111
PRAI JP	1997-222431	A	19970819		
	WO 1998-JP3657	W	19980818		

OS MARPAT 130:182369

GI



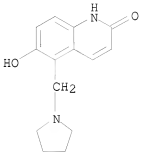
I

AB Title compds. [I; R1 = H, alkyl, alkenyl; R2 = H, alkyl, alkoxy, alkenyloxy, alkenyl, tetrahydropyranyloxy; R3, R4 = alkyl, hydroxalkyl; R3R4N = (substituted) 5-6 membered saturated heterocyclyl; dotted line = optional double bond; with provisos], were prepared Thus, 5-acetoxy-3,4-dihydro-8-methoxy-2(1H)-quinolinone, Me2NH, and aqueous H2CO were refluxed 10 h in EtOH to give 6-dimethylaminomethyl-3,4-dihydro-5-hydroxy-8-methoxy-2(1H)-quinolinone hydrochloride. I as 3% solns. on guinea pigs gave 38-78% inhibition of sunburn. I formulations are given.

IT 220687-65-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbostyril derivs. for inhibiting skin erythema and/or skin pigmentation)

RN 220687-65-0 CAPLUS

CN 2(1H)-Quinolinone, 6-hydroxy-5-(1-pyrrolidinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



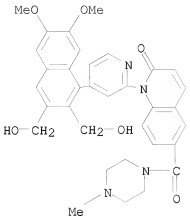
● HCl

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 41 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1998:561163 CAPLUS
DN 129:239891
OREF 129:48675a,48678a
TI Naphthalene derivatives as antiasthmatics
IN Ukita, Tatsuzo; Ikezawa, Ichiro; Yamagata, Shinsuke
PA Tanabe Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 57 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 10226647	A	19980825	JP 1997-342351	19971212
JP 3237109	B2	20011210		
PRAI JP 1996-333356	A	19961213		

AB Naphthalene derivs. (Markush's structures included) and their pharmacol. acceptable salts are claimed as antiasthmatics, with phosphodiesterase IV-inhibiting activity, and for treatment of airway inflammation. The antiasthmatic, phosphodiesterase IV-inhibiting actions were tested in animal models.
IT 186460-18-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(naphthalene derivs. as antiasthmatics)
RN 186460-18-4 CAPLUS
CN 2(1H)-Quinolinone, 1-[4-[2,3-bis(hydroxymethyl)-6,7-dimethoxy-1-naphthalenyl]-2-pyridinyl]-6-[(4-methyl-1-piperazinyl)carbonyl]- (CA INDEX NAME)

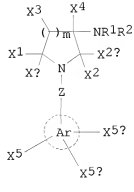


L6 ANSWER 42 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1998:402310 CAPLUS
DN 129:81744
OREF 129:16881a,16884a
TI Preparation of sulfonic acid or sulfonylamino N-(heteroaralkyl)-azaheterocyclylamide compounds as inhibitors of factor Xa
IN Choi-Sledeski, Yong Mi; Pauls, Henry W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; et al.
PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9825611	A1	19980618	WO 1997-US22406	19971203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2274686	A1	19980618	CA 1997-2274686	19971203
CA 2274686	C	20090203		
AU 9855182	A	19980703	AU 1998-55182	19971203
AU 726637	B2	20001116		
EP 944386	A1	19990929	EP 1997-951573	19971203
EP 944386	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1244798	A	20000216	CN 1997-181387	19971203
BR 9713921	A	20000321	BR 1997-13921	19971203
HU 9904188	A2	20000628	HU 1999-4188	19971203
HU 9904188	A3	20030228		

	JP 2001506630	T	20010522	JP 1998-526844	19971203
	JP 4223560	B2	20090212		
	AP 1032	A	20011224	AP 1999-1552	19971203
	W: GH, KE, LS, MW, SD, SZ, UG, ZW				
	AT 224192	T	20021015	AT 1997-951573	19971203
	PT 944386	T	20030131	PT 1997-951573	19971203
	ES 2184145	T3	20030401	ES 1997-951573	19971203
	ZA 9711207	A	19980720	ZA 1997-11207	19971212
	US 6602864	B1	20030805	US 1998-90492	19980603
	NO 9902853	A	19990810	NO 1999-2853	19990611
	NO 312416	B1	20020506		
	KR 2000057528	A	20000925	KR 1999-705236	19990611
	US 6281227	B1	20010828	US 1999-453307	19991202
	US 20020013310	A1	20020131	US 2001-918039	20010730
PRAI	US 1996-33159P	P	19961213		
	WO 1997-US22406	W	19971203		
	US 1998-90492	A2	19980603		
	WO 1999-US12312	A2	19990603		
	US 1999-453307	A3	19991202		
OS	MARPAT 129:81744				

GI



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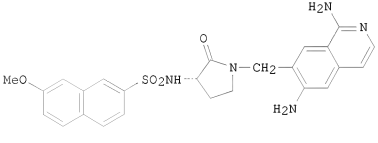


II

AB The compds. of formula [I; Ar1 = a bicyclic heteroaryl containing ≥1 N atom; Z = alkenyl; R1 = H, (un)substituted alkyl, aralkyl, or heteroalkyl, hydroxyalkyl, carboxy alkyl, carbamoylalkyl, aminoalkyl, etc.; R2 = R3S(O)p, R3R4NS(O)p; R3 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, aralkenyl, heteroaralkenyl; or

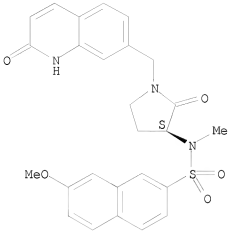
R1 and R3 taken together with N(O)p or NS(O)pNR4 through which R1 and R3 are linked from a 5 to 7 membered (un)substituted heterocyclyl; wherein p = 1, 2; R4 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; X1, X1a = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or X and X1a are taken together to form oxo; X3 = H, OH, (un)substituted alkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl; or X3 or one of X1 and X1a taken together form a 4 to 7 membered cycloalkyl; X5, X5a, X5b = H, (un)substituted NH2, HONH, alkoxyamino, NHNH2, (un)substituted OH, CONH2 or SO2NH2, halo, cyano, NO2, etc.; one of X5, X5a, and X5a = H, HO or (H, optionally substituted lower alkyl, hydroxy, alkoxy, or amino)NH that substitutes the distal ring of Ar1 at a position alpha to a nitrogen thereof] herein exhibit useful pharmacol. activity and accordingly are incorporated into pharmaceutical compns. and used in the treatment of patients suffering from certain medical disorders. More specifically, they are inhibitors of the activity of Factor Xa. The present invention is directed to compds. of formula I, compns. containing compds. of formula I, and their use, which are for treating a patient suffering from, or subject to, physiol. condition (disorder) which can be ameliorated by the administration of an inhibitor of the activity of Factor Xa. The physiol. disorder is venous vasculature, arterial vasculature, abnormal thrombus formation, acute myocardial infarction, unstable angina, thromboembolism, acute vessel closure associated with thrombolytic therapy, percutaneous transluminal coronary angioplasty, transient ischemic attacks, stroke, intermittent claudication or bypass grafting of the coronary or peripheral arteries, vessel luminal narrowing, restenosis post-coronary or venous angioplasty, maintenance of vascular access patency in long-term hemodialysis patients, pathol. thrombus formation occurring in the veins of the lower extremities following abdominal, knee and hip surgery, a risk of pulmonary thromboembolism, or disseminated systemic intravascular coagulopathy occurring in vascular systems during septic shock, certain viral infections and cancer. Thus, 3-(S)-amino-1-(6-amino-1-chloroisoquinolin-7-ylmethyl)pyrrolidin-2-one was coupled with 7-methoxynaphthalene-2-sulfonyl chloride followed by amination with ammonium acetate in PhOH at 115° for 2 h gave the title compound, N-[N-(isoquinolinylmethyl)oxopyrrolidinyl]naphthalenesulfonamide (II.CF3CO2H). II.CF3CO2H in vitro inhibited factor Xa, thrombin, trypsin, tissue-plasminogen activator (t-PA), plasmin and activated protein C with Ki value of 80 nM.

IT 209285-34-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonic acid or sulfonylamino N-(heteroaralkyl)-azaheterocyclylamide compds. as inhibitors of factor Xa)

RN 209285-34-7 CAPLUS

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[(1,2-dihydro-2-oxo-7-quinolinyl)methyl]-2-oxo-3-pyrrolidinyl]-7-methoxy-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

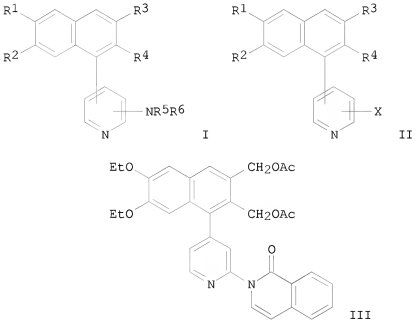


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 43 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1997:127403 CAPLUS
DN 126:131466
OREF 126:25397a,25400a
TI Preparation of naphthalene derivatives as bronchoconstriction inhibitors
IN Ukita, Tatsuzo; Ikezawa, Katsuo; Yamagata, Shinsuke
PA Tanabe Seiyaku Co., Ltd., Japan
SO Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 748805	A1	19961218	EP 1996-304033	19960604
	EP 748805	B1	19980408		
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	IL 118469	A	20000813	IL 1996-118469	19960530
	AU 9654693	A	19970102	AU 1996-54693	19960604
	AU 706156	B2	19990610		
	AT 164843	T	19980415	AT 1996-304033	19960604
	ES 2116131	T3	19980701	ES 1996-304033	19960604
	IN 1996MA01035	A	20050304	IN 1996-MA1035	19960612
	CA 2178974	A1	19961216	CA 1996-2178974	19960614
	CA 2178974	C	20060606		
	NO 9602527	A	19961216	NO 1996-2527	19960614
	NO 310109	B1	20010521		
	JP 09059255	A	19970304	JP 1996-152761	19960614
	JP 3033090	B2	20000417		
	HU 9601652	A1	19970929	HU 1996-1652	19960614
	HU 222340	B1	20030628		
	BR 9602802	A	19981006	BR 1996-2802	19960614
	RU 2129120	C1	19990420	RU 1996-112130	19960614
	US 6005106	A	19991221	US 1996-663991	19960614

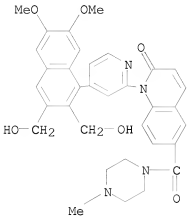
	ZA 9604652	A	19961212	ZA 1996-4652	19960615
	CN 1142497	A	19970212	CN 1996-106608	19960617
	CN 1063748	C	20010328		
	US 5969140	A	19991019	US 1998-109099	19980702
	US 6214996	B1	20010410	US 1998-201820	19981201
PRAI	JP 1995-149288	A	19950615		
	US 1996-663991	A3	19960614		
OS	MARPAT 126:131466				
GI					



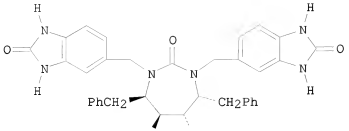
AB The title compds. [I; R1, R2 = H, (un)protected OH; one of R3 and R4 is (un)protected HOCH2, and the other is H, lower alkyl, (un)protected HOCH2; R5, R6 = H, (un)substituted lower alkyl, (un)substituted Ph, (un)protected NH2; R5 and R6 may combined together with the adjacent N to form (un)substituted heterocyclyl] and pharmaceutically acceptable salts thereof are prepared by reacting compds. (II; X = halo; R1, R2, R3, R4 = same as above) or N-oxide of II with HNR5R6 (R5, R6 = same as above). I, possessing bronchoconstriction inhibitory activity, are useful in the prophylaxis or treatment of asthma. Thus, 1-(4-pyridyl)-2,3-bis(acetoxymethyl)-6,7-diethoxynaphthalene N-oxide was reacted with 1-chloroisoquinoline at 150-160° to give the title compound (III). I showed antigen-induced bronchoconstriction inhibitory activity more than 30 times as strong as those of theophylline.

IT 186460-18-4P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthalene derivs. as bronchoconstriction inhibitors)

RN 186460-18-4 CAPLUS
CN 2(1H)-Quinolinone, 1-[4-[2,3-bis(hydroxymethyl)-6,7-dimethoxy-1-naphthalenyl]-2-pyridinyl]-6-[(4-methyl-1-piperazinyl)carbonyl]- (CA INDEX NAME)



L6 ANSWER 44 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1997:49326 CAPLUS
DN 126:171568
OREF 126:33156h,33157a
TI Potent cyclic urea HIV protease inhibitors with benzofused heterocycles as P2/P2' groups
AU Rodgers, James D.; Johnson, Barry L.; Wang, Haisheng; Greenberg, Roger A.; Erickson-Viitanen, Susan; Klabe, Ronal M.; Cordova, Beverly C.; Rayner, Marlene M.; Lam, Gilbert N.; Chang, Chong-Hwan
CS DuPont Merck Pharmaceutical Company, Wilmington, DE, 19880-0500, USA
SO Bioorganic & Medicinal Chemistry Letters (1996), 6(24), 2919-2924
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
GI



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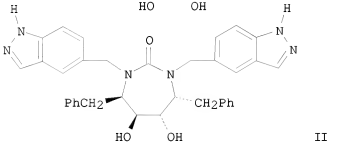


II

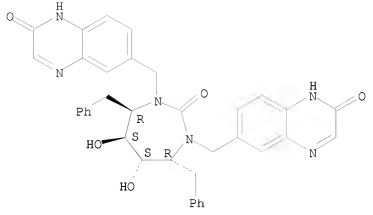
AB A series of benzofused heterocycles was examined to replace the metabolically unstable benzyl alc. P2/P2' groups of DMP 323. Extremely potent inhibitors of HIV protease (Ki < 0.01 nM) and excellent antiviral activity (IC90 = 8 nM) were found. An X-ray crystal structure of (4α,5α,6β,7β)-1-(1H-benzimidazol-5-ylmethyl)-3-(1H-benzimidazol-6-ylmethyl)hexahydro-5,6-dihydroxy-4,7-bis(phenylmethyl)-2H-1,3-diazepin-2-one (I) bound to HIV protease showed H-bonds to Asp30 and a bridging water mol. to Gly48. The compound II was subject to further pharmacol. testing.

IT 187275-26-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of dihydroxybis(phenylmethyl)diazepinones as HIV protease inhibitors)

RN 187275-26-9 CAPLUS

CN 2(1H)-Quinoxalinone, 6,6'-[[tetrahydro-5,6-dihydroxy-2-oxo-4,7-bis(phenylmethyl)-1H-1,3-diazepine-1,3(2H)-diyl]bis(methylene)]bis-, [4R-(4α,5α,6β,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 45 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1995:711976 CAPLUS
DN 123:111861
OREF 123:19985a,19988a
TI Preparation of piperidinylcarbonylcarbostyrils as peripheral vasodilators
IN Fujioka, Takafumi; Teramoto, Shuji; Tanaka, Michinori; Shimizu, Hiroshi; Tabusa, Fujio; Tominaga, Michiaki
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9419339	A1	19940901	WO 1994-JP157	19940203
	W: AU, CA, CN, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 06239858	A	19940830	JP 1993-26594	19930216
	CA 2133207	A1	19940901	CA 1994-2133207	19940203
	AU 9459788	A	19940914	AU 1994-59788	19940203
	AU 666259	B2	19960201		
	EP 636128	A1	19950201	EP 1994-905839	19940203
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1102527	A	19950510	CN 1994-190064	19940203
	US 5591751	A	19970107	US 1994-318801	19941014
PRAI	JP 1993-26594	A	19930216		
	JP 1993-76907	A	19930402		
	JP 1993-80677	A	19930407		
	WO 1994-JP157	W	19940203		

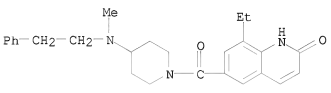
OS MARPAT 123:111861
GI For diagram(s), see printed CA Issue.
AB Title compds. I (R1A = H, alkyl; R2A, R3A = H, alkyl, (phenylthio)alkyl, (substituted) phenoxyalkyl; R4A = H, alkyl, alkoxy, O2N, (phenylalkyl)amino, etc.) or a salt thereof, are prepared Di-Et cyanophosphate and Et3N were added to 6-carboxy-8-ethylcarbostyril and

4-[methyl(2-phenylethyl)amino]piperidine in DMF to give the title compound II. Representative I showed peripheral vasodilating activity. Pharmaceutical formulations comprising I, are given.

IT 165591-69-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylcarbonylcarbostyrils as peripheral vasodilators)

RN 165591-69-5 CAPLUS

CN 2(1H)-Quinolinone, 8-ethyl-6-[[4-[methyl(2-phenylethyl)amino]-1-piperidinyl]carbonyl]-, hydrochloride (1:1) (CA INDEX NAME)



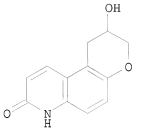
● HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 46 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1995:339463 CAPLUS
DN 122:105863
OREF 122:19919a,19922a
TI preparation of pyranoquinoline derivative
IN Hisa, Hideyuki
PA Kodama Kk, Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 06293770	A	19941021	JP 1992-257434	19920901
PRAI JP 1991-248297	A	19910902		

OS CASREACT 122:105863; MARPAT 122:105863
GI



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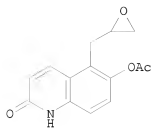


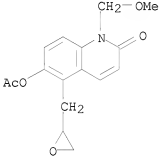
II

AB The title compound (I), useful as pharmaceutical (no data), was prepared Thus, I was prepared in 7 steps from 6-hydroxyquinolin-2-one and allyl bromide via cyclization of epoxypropylquinolinone II.

IT 160749-14-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyranoquinoline derivative)

RN 160749-14-4 CAPLUS

CN 2(1H)-Quinolinone, 6-(acetyloxy)-1-(methoxymethyl)-5-(2-oxiranylmethyl)- (CA INDEX NAME)



L6 ANSWER 47 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:227441 CAPLUS

DN 122:105695

OREF 122:19887a,19890a

TI Carbostyril oxytocin receptor antagonists

IN Freidinger, Roger M.; Pawluczyk, Joseph M.; Pettibone, Douglas J.; Williams, Peter D.

PA Merck and Co., Inc., USA

SO U.S., 177 pp.
CODEN: USXXAM

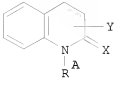
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5356904	A	19941018	US 1992-957491	19921007
	WO 9519773	A1	19950727	WO 1994-US847	19940119
	W: CA, JP				

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRAI US 1992-957491 19921007
OS MARPAT 122:105695
GI



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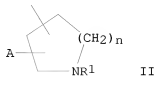
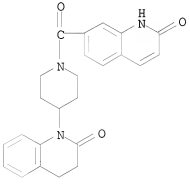


II

AB A method of inhibiting oxytocin from acting at its receptor site by administering oxytocin receptor antagonist compds. of the formula I wherein X is oxygen or sulfur; Y is hydrogen or lower alkyl; RA is II. IC50 (nM) values were determined for both [3H]oxytocin and [3H]vasopressin: 560-2500 and 39-320, resp. Pharmaceutical formulations were given.
IT 160586-88-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(carbostyril oxytocin receptor antagonists)
RN 160586-88-9 CAPLUS
CN 2(1H)-Quinolinone, 1-[1-[(1,2-dihydro-2-oxo-7-quinolinyl)carbonyl]-4-piperidinyl]-3,4-dihydro- (CA INDEX NAME)



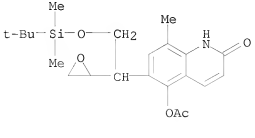
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 48 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1994:534101 CAPLUS
DN 121:134101
OREF 121:24249a,24252a
TI Preparation of quinoline derivative or salt thereof and remedy for cardiac diseases containing the same
IN Kyotani, Yoshinori; Ogiya, Tadaaki; Toma, Tsutomu; Kurihara, Yuji; Kitamura, Takahiro; Yamaguchi, Takashi; Onogi, Kazuhiro; Sato, Seichi; Shigyo, Hiromichi; et al.
PA Kowa Co., Ltd., Japan
SO PCT Int. Appl., 265 pp.

CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9322317	A1	19931111	WO 1993-JP566	19930428
	W: CA, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 638571	A1	19950215	EP 1993-911951	19930428
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 3406600	B2	20030512	JP 1993-519131	19930428
	US 5576324	A	19961119	US 1994-325270	19941027
PRAI	JP 1992-112862	A	19920501		
	WO 1993-JP566	W	19930428		

OS MARPAT 121:134101
GI For diagram(s), see printed CA Issue.
AB Quinoline derivs. [I; ring A = a furan, dihydrofuran or dioxolane ring; R1 = OH, CO2H, alkoxycarbonyl, CONH2, alkenyl, CHO, cyano, (un)substituted alkyl, C(:NR10)R9; R9 = NH2, alkyl; R10 = H, OH; R2 = H, (un)substituted alkyl, alkenyl, acyl, OH; R3, R4 = H, halo, (un)substituted alkyl or NH2, alkoxy, alkylthio, CO2H, alkoxycarbonyl, acyl, CONH2, cyano, NO2; R5, R6, R7, R8 = H or alkyl; m = an integer 0-3; symbol.....means that there may be a double bond formed by R6 and R8] and medicinally acceptable salts are prepared The compds. I have a pos. inotropic effect on myocardia and an antiarrhythmic effect and can dilate blood vessels without extremely increasing the heart rate. Therefore, a remedy for cardiac diseases containing I as the active ingredient is remarkably useful for treating cardiac insufficiency and arrhythmia and as vasodilators and carditonics. Thus, 5-hydroxy-6-allyl-8-methylcarbostyryl was stirred with m-chloroperbenzoic acid in CHCl3 at 50° for 17 h to give a tetrahydrofuroquinolinone derivative (II; X = OH, R9 = H) which was mesylated by MeSO2Cl in pyridine and underwent azidolysis with NaN3 DMF at 100° to give, after hydrogenation over 10% Pd-C, II (X = NH2, R11 = H). II.HCl (X = NH2, R11 = Me) at 100 mg/kg p.o. inhibited the CHCl3-induced arrhythmia in mice by 100%.
IT 156937-04-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for quinoline derivative medicament for cardiac diseases)
RN 156937-04-1 CAPLUS
CN 2(1H)-Quinolinone, 5-(acetyloxy)-6-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-(2-oxiranyl)ethyl]-8-methyl- (CA INDEX NAME)

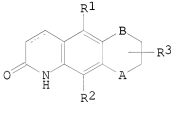


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 49 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1994:298644 CAPLUS
DN 120:298644
OREF 120:52637a,52640a
TI Preparation of furo- or pyranoquinoline derivatives or their salts as cardiotonics, antiarrhythmics, and vasodilators
IN Kyotani, Yoshinori; Taima, Tsutomu; Kurihara, Juji; Kitamura, Takahiro; Kamya, Kazuhiro; Yamaguchi, Takashi; Onoki, Kazuhiro; Sato, Seiichi; Oota, Tomio; Uchida, Yasuyoshi
PA Kowa Co, Japan
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

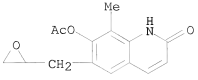
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05339271	A	19931221	JP 1992-145545	19920605
	JP 3153335	B2	20010409		
PRAI	JP 1992-145545		19920605		

OS MARPAT 120:298644
GI



I

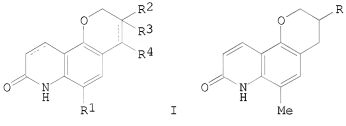
AB The title derivs. I [R1-2 = H, lower alkyl; R3 = (un)substituted lower alkyl, lower alkanoyloxy, OH, lower alkylsulfonyloxy, azido, amino; A = O, direct bond; when A = O then B = direct bond or CH:CH; when A = direct bond then B = O] or their salts are prepared as cardiotonics, antiarrhythmics, and vasodilators (no data). A solution of 7-acetoxy-1,2-dihydro-6-(2,3-epoxypropyl)-8-methylquinolin-8-one (preparation from 3-amino-o-cresol in 6 steps) in DMF was treated with aqueous NaOH at 50° for 30 min to give 61.8% 2-hydroxymethyl-9-methyl-2,3,7,8-tetrahydrofuro[3,2-g]quinolin-7-one.
IT 154521-08-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 154521-08-1 CAPLUS
CN 2(1H)-Quinolinone, 7-(acetyloxy)-8-methyl-6-(2-oxiranylmethyl)- (CA INDEX NAME)



L6 ANSWER 50 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1994:245059 CAPLUS
DN 120:245059
OREF 120:43449a,43452a
TI Preparation of pyranoquinolines as cardiovascular agents
IN Kyotani, Yoshinori; Taima, Tsutomu; Kurihara, Juji; Kitamura, Takahiro; Yamaguchi, Takashi; Kamya, Kazuhiro; Onoki, Kazuhiro; Sato, Seiichi; Oota, Tomio; Uchida, Yasuyoshi
PA Kowa Co, Japan
SO Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

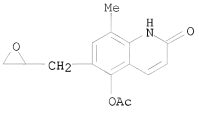
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 05310744	A	19931122	JP 1992-112863	19920501
	JP 3234627	B2	20011204		
PRAI	JP 1992-112863		19920501		

OS MARPAT 120:245059
GI



I II

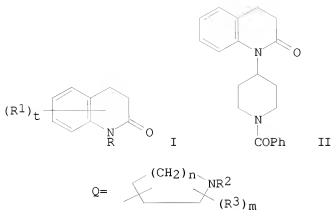
AB The title compds. I [R1 = alkyl; R2 = H, OH, alkoxy, etc.; R3 = H, alkyl; R4 = H, alkyl, etc.; dotted line indicates optional double bond; further detail is given in the case where there is a double bond between positions 3 and 4], useful as cardiovascular agents (no data), were prepared Hydrogenation of pyranoquinoline II (R = N3) in the presence of Pd on carbon under hydrogen gave II (R = NH2).
IT 153999-64-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of drug)
RN 153999-64-5 CAPLUS
CN 2(1H)-Quinolinone, 5-(acetyloxy)-8-methyl-6-(2-oxiranylmethyl)- (CA INDEX NAME)



L6 ANSWER 51 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1991:81619 CAPLUS
DN 114:81619
OREF 114:13929a,13932a
TI Preparation of carbostyril derivatives as vasopressin antagonists
IN Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi; Nakaya, Kenji; Tominaga, Michiaki; Yabuuchi, Yoichi
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 364 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 382185	A2	19900816	EP 1990-102404	19900207
	EP 382185	A3	19910918		
	EP 382185	B1	19940615		
	R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	ES 2056259	T3	19941001	ES 1990-102404	19900207
	JP 03173870	A	19910729	JP 1990-31360	19900208
	JP 07068218	B	19950726		
	CN 1046529	A	19901031	CN 1990-100657	19900210
	CN 1036394	C	19971112		
	KR 9711153	B1	19970707	KR 1990-1705	19900210
	US 5225402	A	19930706	US 1991-762736	19910918
	US 5436254	A	19950725	US 1993-125667	19931102
	US 5652247	A	19970729	US 1994-359081	19941214
PRAI	JP 1989-31580	A	19890210		
	JP 1989-102699	A	19890421		
	JP 1989-181440	A	19890713		
	JP 1989-232333	A	19890907		
	US 1990-478181	B1	19900209		
	US 1991-762736	A3	19910918		
	US 1992-846941	A1	19920306		

OS MARPAT 114:81619
GI

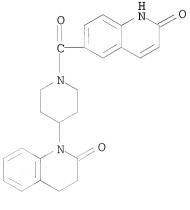


AB The title compds. I [R1 = H, NO2, alkoxy, alkoxycarbonyl, alkyl, etc.; t = 1-3; R = Q, (substituted) Ph, etc.; R2 = H, alkoxycarbonyl, (substituted) phenoxycarbonyl, etc.; n = 1,2; m = 0-3; R3 = alkyl; dotted line indicates single or double bond] were prepared I are useful as vasodilators and antihypertensives. A mixture of N-(1-benzoyl-4-piperidinyl)-2-(2-carbamolyethyl)aniline and 5% HCl was refluxed for 5 h to give dihydrocarbostyril II. In an in vitro test using rat liver plasma membrane prepns. and H3-vasopressin, the compound 1-[1-(4-methylaminobenzoyl)-4-piperidinyl]-3,4-dihydrostyril showed IC50 of 0.4 μM. Formulations containing I were given.

IT 131631-26-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as vasopressin antagonist)

RN 131631-26-0 CAPLUS

CN 2(1H)-Quinolinone, 1-[1-[(1,2-dihydro-2-oxo-6-quinolinyl)carbonyl]-4-piperidinyl]-3,4-dihydro- (CA INDEX NAME)

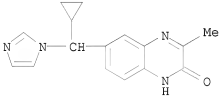


L6 ANSWER 52 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

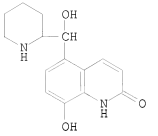
AN 1990:612014 CAPLUS
DN 113:212014
OREF 113:35835a,35838a
TI Preparation of (1H-azol-1-ylmethyl)quinolines, -quinazolines, and -quinoxalines as drugs
IN Freyne, Eddy Jean Edgard; Venet, Marc Gaston; Raeymaekers, Alfons Herman Margaretha; Sanz, Gerard Charles
PA Janssen Pharmaceutica N. V., Belg.
SO Eur. Pat. Appl., 106 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 371564	A2	19900606	EP 1989-203014	19891128
	EP 371564	A3	19910529		
	EP 371564	B1	19950712		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5028606	A	19910702	US 1989-434957	19891113
	US 5037829	A	19910806	US 1989-435120	19891113
	CA 2002864	A1	19900529	CA 1989-2002864	19891114
	CA 2002864	C	19991116		
	DK 8905994	A	19900530	DK 1989-5994	19891128
	DK 172748	B1	19990628		
	NO 8904734	A	19900530	NO 1989-4734	19891128
	NO 174509	B	19940207		
	NO 174509	C	19940518		
	AU 8945646	A	19900607	AU 1989-45646	19891128
	AU 620946	B2	19920227		
	HU 52498	A2	19900728	HU 1989-6220	19891128
	HU 205106	B	19920330		
	ZA 8909076	A	19910731	ZA 1989-9076	19891128
	SU 1780536	A3	19921207	SU 1989-4742543	19891128
	IL 92486	A	19930708	IL 1989-92486	19891128
	ES 2088889	T3	19961001	ES 1989-203014	19891128
	FI 101964	B	19980930	FI 1989-5687	19891128
	FI 101964	B1	19980930		
	CN 1042912	A	19900613	CN 1989-108925	19891129
	CN 1033752	C	19970108		
	JP 02223579	A	19900905	JP 1989-307793	19891129
	JP 2916181	B2	19990705		
	US 5151421	A	19920929	US 1991-672298	19910320
	US 5185346	A	19930209	US 1991-704746	19910523
	US 5268380	A	19931207	US 1992-973871	19921110
	US 5441954	A	19950815	US 1993-131817	19931005
	CN 1106004	A	19950802	CN 1994-117801	19941102
	CN 1036002	C	19971001		
	CN 1106005	A	19950802	CN 1994-117802	19941102
	CN 1036003	C	19971001		
	US 5612354	A	19970318	US 1995-409551	19950323
PRAI	GB 1988-27820	A	19881129		
	GB 1988-27821	A	19881129		
	GB 1988-27822	A	19881129		
	US 1989-434205	B2	19891113		
	US 1989-434957	A3	19891113		
	US 1991-704746	A3	19910523		

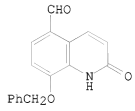
US 1992-973871 A3 19921110
US 1993-131817 A3 19931005
OS MARPAT 113:212014
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = H, alkyl; X1:X2 = CH:CH, CH:N, N:CH; Y = H, alkyl, cycloalkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl; Z = (un)substituted (oxo)quinolinyl, (oxo- or thioxo)quinazolinyl, (oxo- or dioxo)quinoxalinyl] were prepared as retinoic acid metabolism inhibitors, aromatase inhibitors, etc. Thus, 3,4-dihydroquinolin-2(1H)-one was stirred 2 h at 70° with BzCl in DMF containing AlCl3 and the product reduced by NaBH4 to give hydroxymethylquinolinone II (R1 = Ph, R2 = OH). II (R1 = Me, R2 = OH) was stirred overnight with SOCl2 in THF and the product II (R1 = Me, R2 = Cl) stirred overnight at 60-70° with 1H-imidazole in DMSO to give II (R1 = Me, R2 = imidazolo) which maintained plasma levels of i.v. administered all-trans-retinoic acid at ≥10 ng/mL in rats 2 h after oral administration of 40 mg/kg.
IT 130346-52-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as retinoate metabolism and aromatase inhibitor)
RN 130346-52-0 CAPLUS
CN 2(1H)-Quinoxalinone, 6-(cyclopropyl-1H-imidazol-1-ylmethyl)-3-methyl- (CA INDEX NAME)



L6 ANSWER 53 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1990:458881 CAPLUS
DN 113:58881
OREF 113:9955a,9958a
TI Synthesis of procaterol derivative having a piperidylmethanol group and its β-adrenoceptor stimulant activities
AU Yoshizaki, Shiro; Tamada, Shigeharu; Umezato, Masanao; Yabuuchi, Youichi
CS Tokushima Res. Inst., Otsuka Pharm. Co., Ltd., Tokushima, 771-01, Japan
SO Chemical & Pharmaceutical Bulletin (1989), 37(12), 3403-4
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 113:58881
GI



I



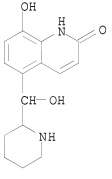
II

AB Procaterol derivative I was prepared by reaction of formylcarbostyril II with pyridyllithium, followed by selective catalytic redns. to afford the erythro isomer. I showed nonselective β-adrenoceptor agonist activities like those of l-isoproterenol in an in vivo assay using anesthetized dogs.

IT 66546-41-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and adrenoceptor stimulant activity of)

RN 66546-41-6 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-(hydroxy-2-piperidinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L6 ANSWER 54 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1988:422851 CAPLUS

DN 109:22851

OREF 109:3905a,3908a

TI Preparation of carbostyril derivatives, compositions containing them, and their use as cardiotonics

IN Tamada, Shigeharu; Fujioka, Takafumi; Ogawa, Hidenori; Teramoto, Shuji; Kondo, Kazumi

PA Otsuka Pharmaceutical Co., Ltd., Japan

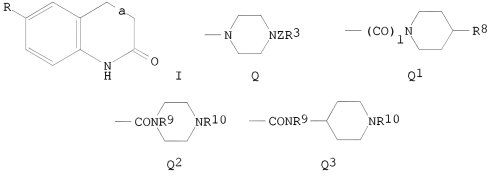
SO Eur. Pat. Appl., 112 pp.
CODEN: EPXXDW

DT Patent

LA English
FAN.CNT 1

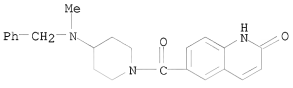
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 255134	A2	19880203	EP 1987-111045	19870730
	EP 255134	A3	19900523		
	EP 255134	B1	19930303		
	R: CH, DE, ES, FR, GB, IT, LI, NL, SE				
	JP 63035562	A	19880216	JP 1986-181662	19860731
	JP 06096555	B	19941130		
	JP 64003182	A	19890106	JP 1987-156887	19870624
	JP 07045493	B	19950517		
	DK 8703973	A	19880201	DK 1987-3973	19870730
	US 4886809	A	19891212	US 1987-79875	19870730
	ES 2053480	T3	19940801	ES 1987-111045	19870730
	US 5071856	A	19911210	US 1989-405295	19890911
	US 5306719	A	19940426	US 1991-760480	19910916
PRAI	JP 1986-181662	A	19860731		
	JP 1987-156887	A	19870624		
	US 1987-79875	A3	19870730		
	US 1989-405295	A3	19890911		

OS CASREACT 109:22851; MARPAT 109:22851
GI

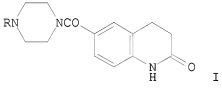


AB The title compds. [I; R = ANR1R2, Q1, Q2; A = CO, C(:NOH)B; B = alkylene; R1, R2 = alkyl, phenylalkyl, alkoxyphenylalkyl; NR1R2 = Q; R3 = (un)substituted Ph; Z = CO, BC:NR4, ACHR5; R4 = OH, alkanoyloxy, alkoxy; R5 = cyano, halo, NR6R7; R6, R7 = H, alkyl, etc.; NR6R7 = heterocyclyl; R8 = alkylenedioxy, oxo, NOH, NR11R12; R9 = H, alkyl; R10 = H, alkyl, alkanoyl, (un)substituted phenylalkyl, etc.; R11, R12 = H, alkyl, etc.; a = single or double bond; l = 0, 1] were prepared 6-Carboxy-3,4-dihydrocarbostyril was stirred with (3-hydroxyimino-3-phenylpropyl)piperazine at 60-70° for 5 h in dioxane containing DCC to give 6-[4-(3-hydroxyimino-3-phenylpropyl)-1-piperazinylcarbonyl]-3,4-dihydrocarbostyril (II) which, administered intraarterially in perfused blood, gave 77% change of dog ventricular muscle contraction in vitro. Tablets were prepared each containing II 5, starch 132, Mg stearate 18, and lactose 45 mg.

IT 115090-90-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiotonic)
RN 115090-90-9 CAPLUS
CN 2(1H)-Quinolinone, 6-[[4-[methyl(phenylmethyl)amino]-1-piperidinyl]carbonyl]- (CA INDEX NAME)



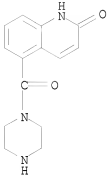
L6 ANSWER 55 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1987:102057 CAPLUS
DN 106:102057
OREF 106:16711a,16714a
TI Studies on positive inotropic agents. II. Synthesis of [(4-substituted 1-piperazinyl)carbonyl]-2(1H)-quinolinone derivatives
AU Tominaga, Michiaki; Yo, Eiyu; Ogawa, Hidenori; Yamashita, Shuji; Yabuuchi, Youichi; Nakagawa, Kazuyuki
CS Tokushima Res. Inst., Otsuka Pharm. Co., Ltd., Tokushima, 771-01, Japan
SO Chemical & Pharmaceutical Bulletin (1986), 34(2), 682-93
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 106:102057
GI



I

AB (1-Piperazinylcarbonyl)quinolinones, e.g., I [R = (CH2)nBz (n = 2,3), Ph, Pr, (CH2)2OPh] were synthesized and examined for pos. inotropic activity on the canine heart. Among them, I [R = (CH2)nBz (n = 2,3) had potent activity.
IT 83735-61-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of)
RN 83735-61-9 CAPLUS
CN 2(1H)-Quinolinone, 5-(1-piperazinylcarbonyl)-, hydrochloride (1:1) (CA

INDEX NAME)

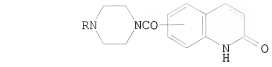


● HCl

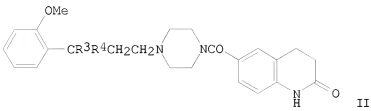
L6 ANSWER 56 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1987:84649 CAPLUS
DN 106:84649
OREF 106:13901a,13904a
TI Carbostyril derivatives and their cardiotonic use
IN Abiko, Atsushi; Fujioka, Takafumi; Nakagawa, Kazuyuki; Kondo, Kazumi
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 202760	A2	19861126	EP 1986-302768	19860414
EP 202760	A3	19880113		
EP 202760	B1	19901128		
R: CH, DE, FR, GB, IT, LI, NL, SE				
JP 62174052	A	19870730	JP 1986-66889	19860324
JP 07116157	B	19951213		
US 4845100	A	19890704	US 1986-850815	19860410
PRAI JP 1985-78980	A	19850412		
JP 1985-227493	A	19851011		
JP 1986-66889	A	19860324		

OS CASREACT 106:84649; MARPAT 106:84649
GI



I



II

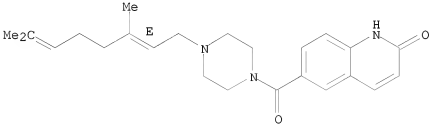
AB Carbostyril derivs. I [R = C7-12 alkenyl, phenylalkenyl with Ph (un)substituted by alkylthio or alkylsulfinyl, phenylalkynyl, Ph (un)substituted by halo, alkyl, alkoxy, alkylthio, alkylsulfinyl, ACR1R2OH; A = alkylene; R1 = H, alkyl, Ph; R2 = (un)substituted Ph; optional double bond at 3-position], having hypotensive activity (no data) and excellent pos. inotropic activity with few side effects in the central nervous system, were prepared by 7 methods. Alkylating 6-(1-piperazinylcarbonyl)-3,4-dihydrocarbostyril-HCl with 4-MeOC6H4COCH2CH2Br in DMF-K2CO3 gave II.HCl (R3R4 = O), which was reduced with NaBH4 to give II (R3 = H, R4 = OH) (III). At 1 μM III gave a 28.8% increase of arterial muscle contraction and a 4.0 mL/min increase in coronary artery blood flow. A tablet formulation comprised 5 mg I [R = PhCH(OH)CH2CH2, A3 absent], 132 mg starch, 18 mg Mg stearate, and 45 mg lactose.

IT 106720-47-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as pos. inotropic agent or hypotensive)

RN 106720-47-2 CAPLUS

CN Piperazine, 1-[(1,2-dihydro-2-oxo-6-quinolinyl)carbonyl]-4-(3,7-dimethyl-2,6-octadienyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L6 ANSWER 57 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1984:68187 CAPLUS

DN 100:68187

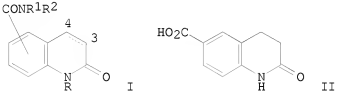
OREF 100:10381a,10384a

TI Carbostyril derivatives as cardiotonics

PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 58148817	A	19830905	JP 1982-30894	19820226
JP 02040646	B	19900912		
PRAI JP 1982-30894		19820226		

GI

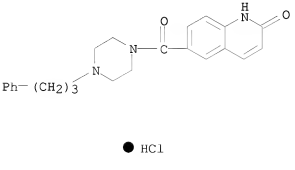


AB Carbostyril derivs. (I; R = H, alkyl, alkenyl, alkynyl, aralkyl; R1, R2 = alkyl, aralkyl, R1R2N = heterocycle containing optional O and N atoms, 3,4-saturated or unsatd.), effective cardiotonics at 1-300 μg in isolated dog heart, were prepared Thus, 2.4 g Et3N was added to a solution of 3.5 g II in DMF under cooling followed by 2.75 g ClCO2CH2CHMe2 and 3.19 g 4-MeOC6H4CH2NHMe to give 1.84 g I (R = H, R1 = Me, R2 = 4-MeOC6H4CH2 at 6-position, 3,4-saturated). Similarly preped. were 114 I derivs.

IT 83735-34-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and cardiotonic activity of)

RN 83735-34-6 CAPLUS

CN 2(1H)-Quinolinone, 6-[[4-(3-phenylpropyl)-1-piperazinyl]carbonyl]-, hydrochloride (1:1) (CA INDEX NAME)

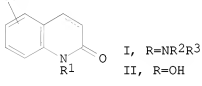


L6 ANSWER 58 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1984:51465 CAPLUS

DN 100:51465
OREF 100:7869a,7872a
TI Carbostyril derivatives
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 48 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 58148861	A	19830905	JP 1982-30893	19820226
	JP 02016299	B	19900416		
	JP 63054364	A	19880308	JP 1987-133177	19870527
	JP 02117662	A	19900502	JP 1989-227739	19890901
PRAI	JP 1982-30893		19820226		

GI

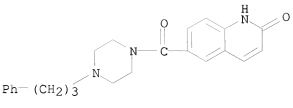


I, R=NR2R3
II, R=OH

AB One hundred and forty-seven carbostyrils I [R1 = H, alkyl, alkenyl, alkynyl, phenylalkyl; R2, R3 = (un)substituted alkyl, (un)substituted phenylalkyl; R2R3N may form a 5- or 6-membered saturated heterocyclic ring] were prepared by, e.g., treating II with HNR2R3. Coronary blood steam enhancing and hypotensive activities were shown for I in pentobarbital-anesthetized dogs. Thus, stirring 2.75 g ClCO2CH2CHMe2 with 3.5 g 6-carboxy-3,4-dihydrocarbostyril and 2.4 g Et3N in DMF with ice cooling 30 min and then treating with 3.19 g 4-MeOC6H4CH2NHMe at room temperature 5 h gave 1.84 g 6-[N-methyl-N-(4-methoxybenzyl)carbamoyl]-3,4-dihydrocarbostyril.

IT 83735-34-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)

RN 83735-34-6 CAPLUS
CN 2(1H)-Quinolinone, 6-[[4-(3-phenylpropyl)-1-piperazinyl]carbonyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

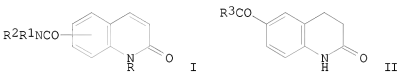
L6 ANSWER 59 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1983:34510 CAPLUS
DN 98:34510
OREF 98:5397a,5400a
TI Carbostyril derivatives and a cardiotonic composition containing them
PA Otsuka Pharmaceutical Co., Ltd. , Japan
SO Belg., 122 pp.
CODEN: BEXXAL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 892148	A1	19820816	BE 1982-207321	19820215
	JP 57136517	A	19820823	JP 1981-22437	19810217
	JP 01007968	B	19890210		
	JP 57171974	A	19821022	JP 1981-57732	19810415
	JP 01009313	B	19890216		
	JP 58029766	A	19830222	JP 1981-127145	19810812
	JP 01009315	B	19890216		
	FI 8200338	A	19820818	FI 1982-338	19820203
	FI 77852	B	19890131		
	FI 77852	C	19890510		
	DE 3204892	A1	19820923	DE 1982-3204892	19820212
	DE 3204892	C2	19880324		
	SU 1331426	A3	19870815	SU 1982-3394100	19820215
	DK 8200665	A	19820818	DK 1982-665	19820216
	DK 152287	B	19880215		
	DK 152287	C	19880711		
	NO 8200479	A	19820818	NO 1982-479	19820216
	NO 159446	B	19880919		
	NO 159446	C	19881228		
	SE 8200916	A	19820818	SE 1982-916	19820216
	SE 445348	B	19860616		
	SE 445348	C	19860925		
	NL 8200593	A	19820916	NL 1982-593	19820216
	AU 8280528	A	19821111	AU 1982-80528	19820216
	AU 530264	B2	19830707		
	ZA 8200996	A	19821229	ZA 1982-996	19820216
	FR 2512818	A1	19830318	FR 1982-2479	19820216
	FR 2512818	B1	19850621		
	US 4487772	A	19841211	US 1982-348709	19820216

	CA 1199915	A1	19860128	CA 1982-396327	19820216
	AT 8200595	A	19871215	AT 1982-595	19820216
	AT 386198	B	19880711		
	GB 2094789	A	19820922	GB 1982-4581	19820217
	GB 2094789	B	19850123		
	CH 651827	A5	19851015	CH 1982-996	19820217
	US 4454130	A	19840612	US 1983-525812	19830822
	US 4468402	A	19840828	US 1983-525284	19830822
PRAI	JP 1981-22437	A	19810217		
	JP 1981-57732	A	19810415		
	JP 1981-127145	A	19810812		
	US 1982-348709	A3	19820216		

OS CASREACT 98:34510; MARPAT 98:34510

GI

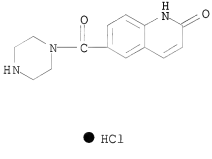


AB Carbostyrils I [R = H, alkyl, alkenyl, alkynyl, phenylalkyl; R1, R2 = (un)substituted alkyl, Ph; NR2R3 = heterocycle] and the 3,4-dihydro derivs. of I were prepared Thus II (R3 = pyridiniomethyl chloride) was hydrolyzed to II (R3 = OH) which was amidated with 4-MeOC6H4CH2NHMe to give II (R3 = NMeCH2C6H4OMe-4; III). At 300 nmole III gave a 42.2% increase in the contractile force of dog heart muscle and a 2 mL/min increase in cardiac output.

IT 83748-36-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of)

RN 83748-36-1 CAPLUS

CN 2(1H)-Quinolinone, 6-(1-piperazinylcarbonyl)-, hydrochloride (1:1) (CA INDEX NAME)



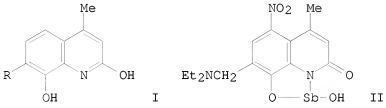
L6 ANSWER 60 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1981:192088 CAPLUS

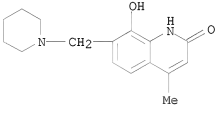
DN 94:192088

OREF 94:31417a,31420a

TI Synthetic schistosomicides: synthesis of some antimonylquinolines
AU Shoeb, H. A.; Korkor, M. I.; Tammam, G. H.; El-Amin, S. M.
CS Natl. Res. Cent., Cairo, Egypt
SO Canadian Journal of Pharmaceutical Sciences (1980), 15(3), 66-8
CODEN: CNJPAZ; ISSN: 0008-4190
DT Journal
LA English
OS CASREACT 94:192088
GI



AB Mannich reaction of 2,8-dihydroxylepidine (I, R = H) with R1H (R1 = Et2N, MeNH, EtNH, PrNH, BuNH, piperidinyl, morpholino, pyrrolyl) gave 50-65% I (R = R1NCH2). Nitration of I (R = Et2NCH2), followed by treatment with SbCl3 gave antimonylquinoline II. Schistosomicidal activity of II was compared with that of Tartar-emetic.
IT 77636-47-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 77636-47-6 CAPLUS
CN 2(1H)-Quinolinone, 8-hydroxy-4-methyl-7-(1-piperidinylmethyl)- (CA INDEX NAME)



L6 ANSWER 61 OF 61 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1978:190612 CAPLUS
DN 88:190612
OREF 88:29969a,29972a
TI 5-Carbostyrilmethanol derivatives
IN Yoshizaki, Shiro; Tamada, Shigeharu; Yo, Kagao; Nakagawa, Kazuyuki
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 53012872	A	19780204	JP 1976-85396	19760716
	JP 60009511	B	19850311		
PRAI	JP 1976-85396	A	19760716		

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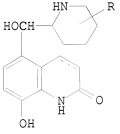


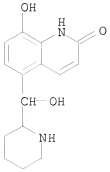
III

AB POCl3 (15.3 g) was added to DMF over 30 min with ice cooling, 2.51 g 8-(benzloxy)carbostyril in DMF added over 1 h, and the mixture stirred 2 h at 30-5° to give 13 g 8-(benzyloxy)-5-formylcarbostyril (I), which (1.4 g) reacted with 1.4 g 2-bromopyridine in THF in the presence of BuLi/hexane at .apprx.-60° to give 1.2 g 8-(benzyloxy)-α-(2-pyridyl)-5-carbostyrilmethanol-HCl, hydrogenation of which (1 g) over Pd-C gave 0.7 g 8-hydroxy-α-(2-pyridyl)-5-carbostyrilmethanol-HCl (II). Reduction of 1 g II in EtOH with 3.5 kg/cm2 H in the presence of 0.3 g PtO2 6 h at room temperature gave 0.85 g III.HCl (R = H, double bond between C3 and C4). Also, prepared were III.HCl (R, bond between C3 and C4 = 3-Me, double; H, single; 4-Bu, single; resp.). III had antiasthma, antihypertensive, anticholesteremic, antiinflammatory, and hypoglycemic activities (no data).

IT 66546-41-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 66546-41-6 CAPLUS

CN 2(1H)-Quinolinone, 8-hydroxy-5-(hydroxy-2-piperidinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

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